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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	Feb 24	PCTGEN now available on STN
NEWS	4	Feb 24	TEMA now available on STN
NEWS	5	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS	6	Feb 26	PCTFULL now contains images
NEWS	7	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	8	Mar 24	PATDPAFULL now available on STN
NEWS	9	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS	10	Apr 11	Display formats in DGENE enhanced
NEWS	11	Apr 14	MEDLINE Reload
NEWS	12	Apr 17	Polymer searching in REGISTRY enhanced
NEWS	13	AUG 22	Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS	14	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS	15	Apr 28	RDISCLOSURE now available on STN
NEWS	16	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS	17	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS	18	May 15	Supporter information for ENCOMPAT and ENCOMPLIT updated
NEWS	19	May 19	Simultaneous left and right truncation added to WSCA
NEWS	20	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS	21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS	22	Jun 06	PASCAL enhanced with additional data
NEWS	23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS	24	Jun 25	HSDB has been reloaded
NEWS	25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
NEWS	26	Jul 21	Identification of STN records implemented
NEWS	27	Jul 21	Polymer class term count added to REGISTRY
NEWS	28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS	29	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	30	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	31	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS	32	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	33	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS	34	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS	35	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	36	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	37	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
NEWS	38	AUG 18	Simultaneous left and right truncation added to ANABSTR

09/ 076,575

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 SEP 2003 HIGHEST RN 577691-42-0

DICTIONARY FILE UPDATES: 1 SEP 2003 HIGHEST RN 577691-42-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10076575a.str

L1 STRUCTURE UPLOADED

=>

Uploading 10076575.str

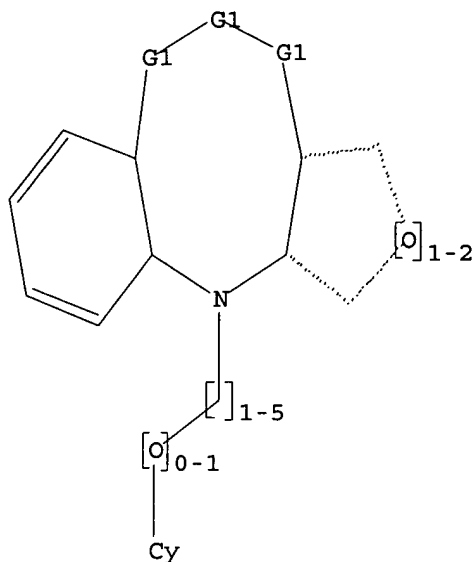
L2 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

09/ 076,575



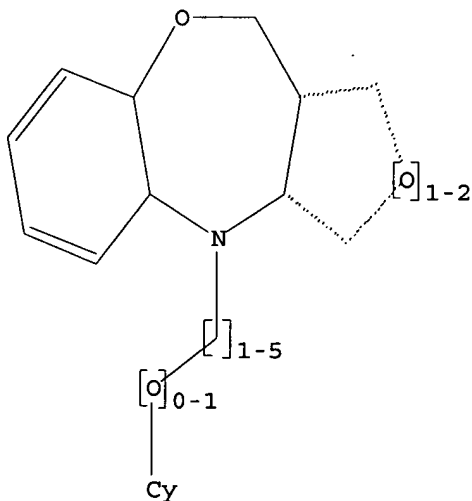
G1 C,O

Structure attributes must be viewed using STN Express query preparation.

=> d 12

L2 HAS NO ANSWERS

L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful

FULL SEARCH INITIATED 14:35:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 10803 TO ITERATE

100.0% PROCESSED 10803 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3

0 SEA SSS FUL L1

09/ 076,575

=> s l2 ful

FULL SEARCH INITIATED 14:36:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L2

=> s 'dibenz[b,g]azocin

MISMATCHED QUOTE ''DIBENZ[B,G]'

Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s 'dibenz[b,g]azocin'

44984 'DIBENZ'

2088 'B,G'

11027 'AZOCIN'

L5 45 'DIBENZ[B,G]AZOCIN'
('DIBENZ' (W) 'B,G' (W) 'AZOCIN')

=> s 'dibenz[b,e][1,4]oxazepin'

MISMATCHED QUOTE ''4]OXAZEPIN''

Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s 'dibenz[b,e][1,4]oxazepin'

44984 'DIBENZ'

18496 'B,E'

926873 '1,4'

8726 'OXAZEPIN'

L6 203 'DIBENZ[B,E][1,4]OXAZEPIN'
('DIBENZ' (W) 'B,E' (W) '1,4' (W) 'OXAZEPIN')

=> s 'dibenz[d,g]dioxazocin'

44984 'DIBENZ'

2755 'D,G'

111 'DIOXAZOCIN'

L7 0 'DIBENZ[D,G]DIOXAZOCIN'
('DIBENZ' (W) 'D,G' (W) 'DIOXAZOCIN')

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	341.70	341.91

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003
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FILE COVERS 1907 - 3 Sep 2003 VOL 139 ISS 10
FILE LAST UPDATED: 1 Sep 2003 (20030901/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003)

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L1 FUL
L4 0 S L2 FUL
L5 45 S 'DIBENZ [B,G] AZOCIN'
L6 203 S 'DIBENZ [B,E] [1,4] OXAZEPIN'
L7 0 S 'DIBENZ [D,G] DIOXAZOCIN'

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003

=> s l5 or l6

18 L5
54 L6
L8 68 L5 OR L6

=> d l8 1- ibib abs fhitr

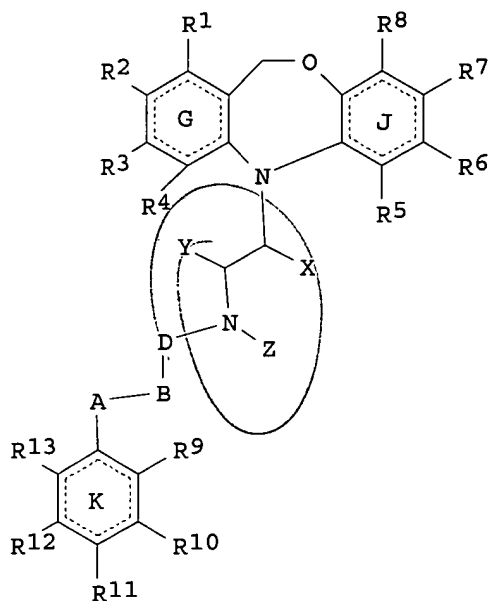
YOU HAVE REQUESTED DATA FROM 68 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

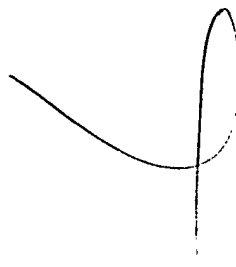
ACCESSION NUMBER: 2002:927415 CAPLUS
DOCUMENT NUMBER: 138:14080
TITLE: Preparation of dihydrodiaryloxazepine derivatives for
treatment of functional digestive tract diseases
INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Tokumasu,
Munetaka; Takahashi, Kazuyoshi; Hirasawa, Shigeo;
Ezaki, Junko
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096891	A1	20021205	WO 2002-JP5193	20020529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.: JP 2001-161988			A 20010530	
OTHER SOURCE(S):		MARPAT 138:14080		

GI



I



AB The title compds. I [ring G, J, K = benzene ring or N-contg. arom. ring; R1 - R8 = halo, H; R9 - R13 = H, halo, cyano, etc.; A = CH₂, etc.; B = CO, etc.; or AB = CH:CH; D = CH₂, etc.; or BD = CH₂; XZ = CH₂CH₂, CH₂CH₂CH₂, and Y = H; or YZ = CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, and X = H; further detail on X, Y, Z is given; a proviso is given] are prepd. Compds. of this invention are calcium channel antagonists with selectivity for the intestinal tract (IC₅₀ values of 5.6 nM to 82.5 nM) and are useful in the treatment of functional digestive tract diseases. Formulations are given.

IT **477778-61-3P**

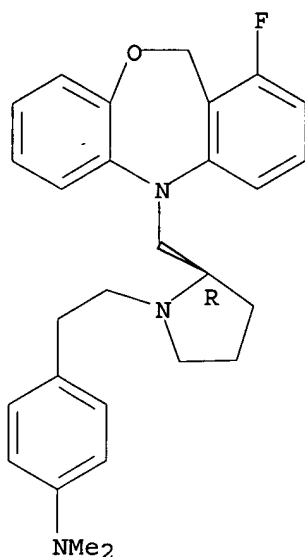
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of dihydrodiaryloxazepine derivs. for treatment of functional digestive tract diseases)

RN 477778-61-3 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



⊙2 HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:142672 CAPLUS

DOCUMENT NUMBER: 136:200094

TITLE: Preparation of biphenylcarboxamidoisoindoline derivatives as apolipoprotein B secretion inhibitors

INVENTOR(S): Yamada, Harutami; Ando, Akira; Kawanishi, Hiroyuki; Nagata, Koichi; Yasuhara, Mikiko

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

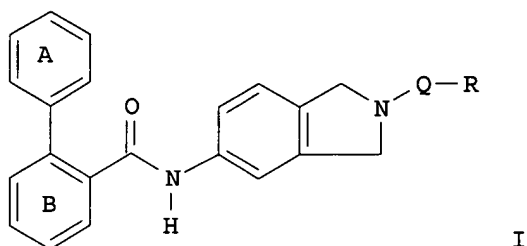
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014277	A1	20020221	WO 2001-JP6844	20010809
W:	AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001077728	A5	20020225	AU 2001-77728	20010809
JP 2003055345	A2	20030226	JP 2001-241482	20010809
PRIORITY APPLN. INFO.:			JP 2000-243004	A 20000810
			JP 2001-172918	A 20010607
			WO 2001-JP6844	W 20010809

OTHER SOURCE(S): MARPAT 136:200094

GI



AB The title compds. I [ring A is a substituted or unsubstituted benzene ring; ring B is a substituted or unsubstituted benzene ring; Q is CO or CH₂; and R is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted carbamoyl, a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl, or the like], useful as apolipoprotein B secretion inhibitors (no data), are prepd. Processes for the prepn. of I are claimed. For example, 2-(2-pyridyl)acetyl-5-[2-(4-trifluoromethylphenyl)benzoylamino]isoindoline was prepd.

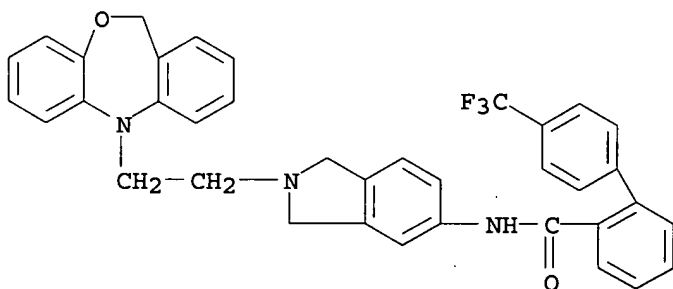
IT 400726-74-1P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)

RN 400726-74-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethyl)-2,3-dihydro-1H-isoindol-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:368136 CAPLUS

DOCUMENT NUMBER: 135:131732

TITLE: Synthesis of Novel .gamma.-Aminobutyric Acid (GABA) Uptake Inhibitors. 5.Preparation and Structure-Activity Studies of Tricyclic Analogues of Known GABA Uptake Inhibitors

AUTHOR(S): Andersen, Knud Erik; Sorensen, Jan L.; Lau, Jesper; Lundt, Behrend F.; Petersen, Hans; Huusfeldt, Per O.; Suzdak, Peter D.; Swedberg, Michael D. B.

CORPORATE SOURCE: Health Care Discovery, Novo Nordisk A/S, Malov, DK 2760, Den.

SOURCE: Journal of Medicinal Chemistry (2001), 44(13), 2152-2163

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB On the basis of the SAR of a series of known γ -aminobutyric acid (GABA) uptake inhibitors, including SKF 89976, new tricyclic analogs have been prepd. These novel compds. are derivs. of nipecotic acid, guvacine, and homo- β -proline, substituted at the nitrogen of these amino acids by various lipophilic moieties such as (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)alkoxyalkyl or (10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)alkoxyalkyl. The in vitro values for inhibition of [3H]-GABA uptake in rat synaptosomes was detd. for each compd. in this new series, and it was found that several of the novel compds. showed a high potency comparable with that of several ref. compds. Several of the novel compds. were also evaluated for their ability in vivo to inhibit clonic seizures induced by a 15 mg/kg (i.p.) dose of Me 6,7-dimethoxy-4-ethyl- β -carboline-3-carboxylate (DMCM). One compd., (R)-1-(2-(2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy)ethyl)-3-piperidinecarboxylic acid, was selected for further biol. investigations and showed a protective index comparable to or slightly better than that of the recently launched anticonvulsant tiagabine ((R)-1-(4,4-bis(3-methyl-2-thienyl)-3-butenyl)-3-piperidinecarboxylic acid).

IT 146844-18-0P

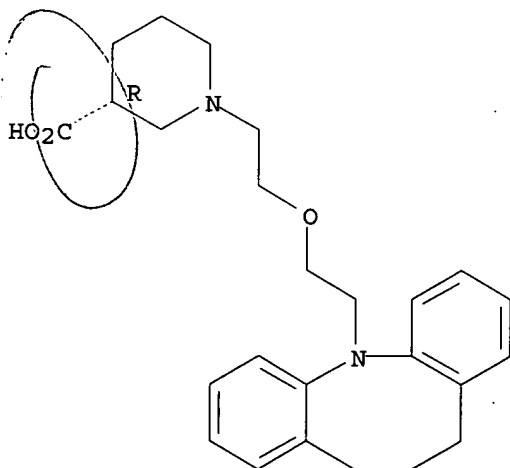
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity studies on tricyclic analogs of known GABA uptake inhibitors)

RN 146844-18-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[2-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)ethoxy]ethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:475653 CAPLUS

DOCUMENT NUMBER: 133:89556

TITLE: Preparation of oxazepine derivatives and drugs containing the same
 INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko; Takahashi, Kazuyoshi
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
 SOURCE: PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040570	A1	20000713	WO 2000-JP71	20000111
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1142884	A1	20011010	EP 2000-900167	20000111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002099047	A1	20020725	US 2001-899928	20010709
US 6528504	B2	20030304		
PRIORITY APPLN. INFO.:			JP 1999-3268	A 19990108
			JP 1999-3269	A 19990108
			JP 1999-3270	A 19990108
			WO 2000-JP71	W 20000111
OTHER SOURCE(S):		MARPAT 133:89556		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

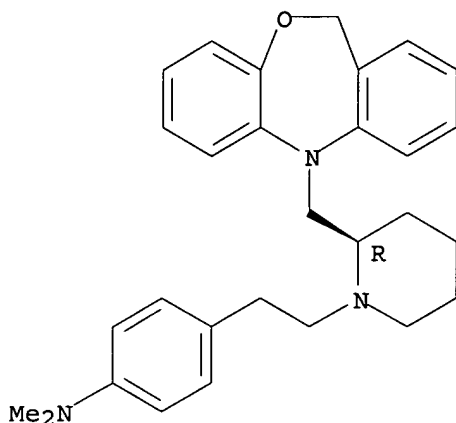
AB Title compds. [I; A = Q, Q1, Q2; R = H, Cl, (CH₃)₂N, CH₃O; R1 = CH₃O, N(CH₃)₂, H; R-R1 = OCH₂O; n = 2, 3;], salts, stereoisomers, and drug compns. contg. I are prepd. and are useful in the treatment or prevention of motor function disorder of digestive tract, particularly intestinal diseases including irritable bowel syndrome. Thus, the title compds. (R)-5,11-Dihydro-5-[1-(4-methoxyphenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4] oxazepine and (R)-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4]oxazepin were prepd. and tested.

IT **281677-38-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of oxazepine derivs. and drugs contg. the same)

RN 281677-38-1 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-piperidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:383927 CAPLUS

DOCUMENT NUMBER: 133:34425

TITLE: Pharmaceutical compositions containing N-substituted azaheterocyclic compounds for the treatment of indications related to angiogenesis

INVENTOR(S): Hansen, Anker Jon; Jorgensen, Tine Krogh; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032193	A1	20000608	WO 1999-DK671	19991201
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1135129	A1	20010926	EP 1999-957964	19991201
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003524611	T2	20030819	JP 2000-584888	19991201
US 2002045610	A1	20020418	US 2001-872127	20010601
PRIORITY APPLN. INFO.:			DK 1998-1586	A 19981202
			US 1998-111445P	P 19981208
			WO 1999-DK671	W 19991201

OTHER SOURCE(S): MARPAT 133:34425

AB The present invention relates to the use of N-substituted azaheterocyclic

comps. or salts thereof, for the treatment of conditions related to angiogenesis. N-substituted azaheterocyclic compds. decreased the vessel area of neovascularization of mouse cornea by 30-50%. A tablet contained a N-substituted azaheterocyclic compd. 100, silicone dioxide 1.5, microcryst. cellulose 70, modified cellulose gum 7.5, in the core, and hydroxypropyl Me cellulose 9, and Mywacett 9-40T 0.9 mg in the coating.

IT 170150-16-0

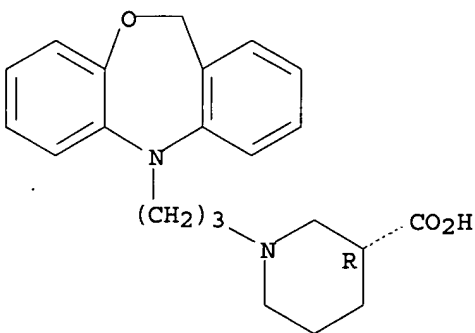
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. contg. N-substituted azaheterocyclic compds. for treatment of indications related to angiogenesis)

RN 170150-16-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:277964 CAPLUS

DOCUMENT NUMBER: 132:308362

TITLE: Preparation of tricyclic compounds for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR)

INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Reddy's Research Foundation

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023425	A1	20000427	WO 1999-DK570	19991019
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

09/ 076,575

AU 9961902	A1	20000508	AU 1999-61902	19991019
EP 1123279	A1	20010816	EP 1999-948738	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527507	T2	20020827	JP 2000-577153	19991019
US 6468996	B1	20021022	US 1999-419761	19991019
US 2002103188	A1	20020801	US 2002-76574	20020208
US 2002111344	A1	20020815	US 2002-76573	20020208
US 2002115657	A1	20020822	US 2002-76575	20020208

PRIORITY APPLN. INFO.: DK 1998-1352 A 19981021
 US 1998-105912P P 19981028
 US 1999-419761 A3 19991019
 WO 1999-DK570 W 19991019

OTHER SOURCE(S): MARPAT 132:308362
GI

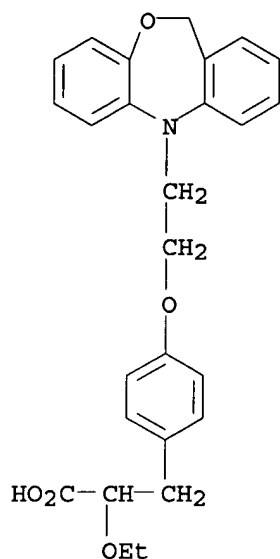
parent

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1-R4 = H, halo, perhalomethyl, etc.; R1 and R2, R2 and R3, R3 and R4 may form (un)substituted cyclic ring contg. 5-7 carbon atoms; A = (un)substituted 5-6 membered cyclic ring; X = a bond, CH:CH, OCH2O, etc.; Ar = (un)substituted arylene, heteroarylene, divalent heterocyclic group; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl, alkenyl, etc.; Y = O, S, NH, etc.; n = 1-4; m = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR) (e.g., in the treatment of diabetes and/or obesity), were prepd. and formulated. Thus, reacting 2-(10,11-dihydrodibenzo[b,f]azepin-5-yl)ethanol with Et 2-ethoxy-3-(4-hydroxyphenyl)propionate in the presence of triphenylphosphine and di-Et azodicarboxylate afforded 90% II. Compds. I are effective at 0.1-70 mg/day in the treatment of adult humans.

IT **265301-43-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic compds. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR))

RN 265301-43-7 CAPLUS
CN Benzenepropanoic acid, 4-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:811383 CAPLUS
 DOCUMENT NUMBER: 132:20799
 TITLE: Media and system for comparative phenotype analysis of microorganism
 INVENTOR(S): Bochner, Barry; Panomitros, Eugenia
 PATENT ASSIGNEE(S): Biolog, Inc., USA
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966066	A1	19991223	WO 1999-US13495	19990616
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6046021	A	20000404	US 1998-98066	19980616
EP 1088097	A1	20010404	EP 1999-928683	19990616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.:
 US 1998-98066 A 19980616
 US 1995-421377 A2 19950412
 US 1996-762656 A2 19961209
 WO 1999-US13495 W 19990616

AB The present invention relates to growing and testing microorganisms in a multitest format. In particularly preferred embodiments, the multitest format utilizes a gel-forming matrix for the rapid screening of clin. and environmental cultures. The present invention is suited for the characterization of commonly encountered microorganisms (e.g., *E. coli*, *S. aureus*, etc.), as well as com. and industrially important organisms from various and diverse environments (e.g., the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi). The present invention is also particularly suited for comparative anal. of phenotypic differences between cell types,

including strains of microorganisms that have been designated as the same genus and species, as well as other cell types (e.g., mammalian, insect, and plant cells).

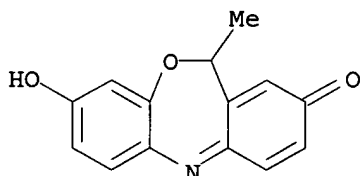
IT 50354-32-0P, Redox purple

RL: ARG (Analytical reagent use); ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(media and system for comparative phenotype anal. of microorganism)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:404950 CAPLUS

DOCUMENT NUMBER: 131:58843

TITLE: preparation of 3-piperidyl-4-oxoquinazoline derivatives as medicinal compositions

INVENTOR(S): Sato, Motohide; Katsushima, Takeo; Kinoshita, Hajime

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931085	A1	19990624	WO 1998-JP5628	19981211
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
JP 11228569	A2	19990824	JP 1998-288979	19981012
JP 2959765	B2	19991006		
ZA 9811315	A	19990630	ZA 1998-11315	19981210
AU 9915068	A1	19990705	AU 1999-15068	19981211
AU 717963	B2	20000406		
EP 970954	A1	20000112	EP 1998-959187	19981211
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO			
BR 9807339	A	20000321	BR 1998-7339	19981211
NZ 337118	A	20000327	NZ 1998-337118	19981211
NO 9903868	A	19991012	NO 1999-3868	19990811
US 6235730	B1	20010522	US 1999-367242	19991026

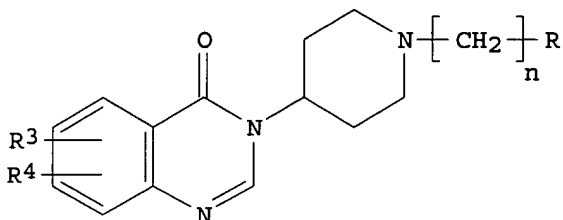
09/ 076,575

PRIORITY APPLN. INFO.:

JP 1997-362819 A 19971212
JP 1998-288979 A 19981012
WO 1998-JP5628 W 19981211

OTHER SOURCE(S):
GI

MARPAT 131:58843



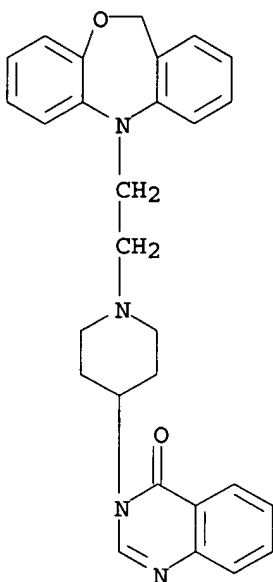
AB 3-Piperidyl-4-oxoquinazoline derivs. or pharmaceutically acceptable salts [I; R = amino substituted by optionally substituted aryl, heteroaryl, or cyclic amino such as dibenzazepine; n = integer from 1 to 4; R3, R4 = H, lower alkyl, etc.], having an excellent MTP-inhibitory activity, thus useful in inhibiting the formation of LDL causative of arteriosclerotic diseases and enabling the regulation of TG, cholesterol and lipoproteins such as LDL in the blood and cellular lipids via the regulation of the MTP activity, were prepd. I are expected also as a novel type of remedies or preventives for hyperlipemia or arteriosclerotic diseases and, moreover, as remedies or preventives for pancreatitis, obesity, hypercholesterolemia, hypertriglyceridemia, etc. Refluxing a mixt. of BrCH₂CH₂NPh₂ and 3-(piperidin-4-yl)-3H-quinazolin-4-one contg. K₂CO₃ in MeCN gave 55% I (R = Ph₂N, R₃ = R₄ = H, n = 2) (II). II.2HCl showed IC₅₀ of 0.1 .mu.M against apolipoprotein B secretion and 0.6 .mu.M against triglyceride transport in vitro.

IT 227806-80-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-piperidyl-4-oxoquinazoline derivs. as medicinal compns.)

RN 227806-80-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-[1-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:246872 CAPLUS

DOCUMENT NUMBER: 130:281580

TITLE: Preparation of thermally stable aminosulfur trifluorides as deoxofluorination agents

INVENTOR(S): Lal, Gauri Sankar; Pez, Guido Peter; Pesaresi, Reno Joseph, Jr.; Syvret, Robert George

PATENT ASSIGNEE(S): Air Products and Chemicals, Inc., USA

SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

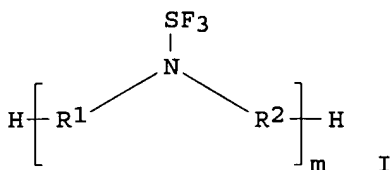
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 908448	A1	19990414	EP 1998-118306	19980925
EP 908448	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6207860	B1	20010327	US 1997-939635	19970929
CA 2248407	AA	19990329	CA 1998-2248407	19980922
JP 11158141	A2	19990615	JP 1998-275235	19980929
JP 3357609	B2	20021216		
US 6242645	B1	20010605	US 2000-535682	20000323

PRIORITY APPLN. INFO.: US 1997-939635 A 19970929

OTHER SOURCE(S): MARPAT 130:281580

GI



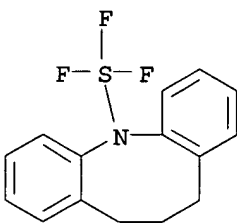
AB Aminosulfur trifluorides I [m = 1-5; when m = 1 R1, R2 = aryl radicals, heterocyclyl, alkoxyalkyl and when m = 2-5 R1 = Ph and R2 = aryl], deoxofluorinating agents, were prepd. E.g., reaction of Ph2NH with SF4 gave Ph2NSF3 quant. Deoxofluorination of 4-tert-butylcyclohexanone by Ph2NSF3 gave 1,1-difluoro-4-tert-butylcyclohexane and 1-fluoro-4-tert-butyl-1-cyclohexene (96:4). The thermal stability of I was studied.

IT **222844-41-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thermally stable aminosulfur trifluorides as deoxofluorination agents)

RN 222844-41-9 CAPLUS

CN Sulfur, (6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)trifluoro-, (T-4)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:194140 CAPLUS

DOCUMENT NUMBER: 130:223305

TITLE: Preparation and formulation of 5,11-dihydrodibenz[b,e][1,4]oxazepine derivatives as calcium antagonists

INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko; Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912925	A1	19990318	WO 1998-JP4071	19980910
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				

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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2304262	AA	19990318	CA 1998-2304262	19980910
AU 9890014	A1	19990329	AU 1998-90014	19980910
AU 740878	B2	20011115		
EP 1020466	A1	20000719	EP 1998-941803	19980910
EP 1020466	B1	20030219		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI

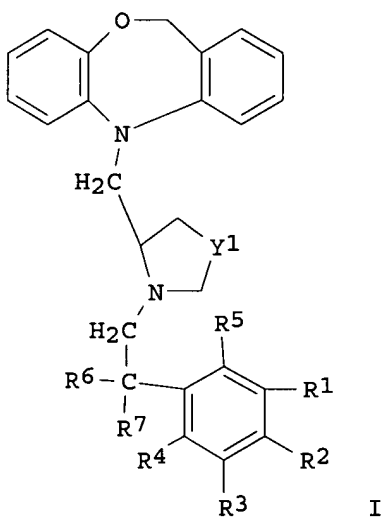
AT 232861	E	20030315	AT 1998-941803	19980910
US 6562808	B1	20030513	US 2000-522946	20000310

PRIORITY APPLN. INFO.:

JP 1997-245669	A	19970910
JP 1997-245670	A	19970910
WO 1998-JP4071	W	19980910

OTHER SOURCE(S): MARPAT 130:223305

GI



AB The title compds. I [R1 - R5 = H, alkoxy, etc.; R6, R7 = H, hydroxy; Y1 = methylene, etc.] are prepd. I are useful in the treatment or prevention of intestinal diseases such as gastrointestinal tract dyskinesia, in particular, irritable bowel syndrome. In an in vitro test for calcium antagonism using ileum, (R)-5,11-Dihydro-5-[1-[2-(4-dimethylaminophenyl)ethyl]-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (II) in vitro showed IC50 of 35 nM; in an in vitro test for calcium antagonism using artery, II showed IC50 of 255 nM. I also showed high water soly.

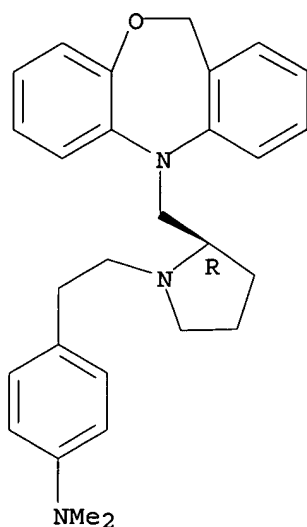
IT 221159-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydrodibenzoxazepine derivs. as calcium antagonists)

RN 221159-49-5 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:191357 CAPLUS
 DOCUMENT NUMBER: 130:220169
 TITLE: Gel matrix with redox purple for testing and characterizing microorganisms
 INVENTOR(S): Bochner, Barry R.; Naleway, John J.
 PATENT ASSIGNEE(S): Biolog, Inc., USA
 SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 5,627,045.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5882882	A	19990316	US 1996-762656	19961209
US 5627045	A	19970506	US 1995-421377	19950412
WO 9826270	A2	19980618	WO 1997-US22601	19971209
WO 9826270	A3	19980903		
W: JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6046021	A	20000404	US 1998-98066	19980616
US 5989853	A	19991123	US 1998-116078	19980715
US 6387651	B1	20020514	US 2000-574087	20000518
US 6472201	B1	20021029	US 2000-752168	20001229
US 2002110848	A1	20020815	US 2002-47048	20020114
US 2003148413	A1	20030807	US 2002-226436	20020823
PRIORITY APPLN. INFO.:				
			US 1995-421377	A2 19950412
			US 1996-762656	A 19961209
			US 1998-98066	A2 19980616
			US 1999-333802	B1 19990615
			US 2000-574087	A1 20000518
			US 2000-752168	A3 20001229

AB The present invention is directed to methods and compns. for the characterization of various microorganisms. In particular, the present invention is suited for the characterization of commonly encountered microorganisms (e.g., E. coli, S. aureus, etc.), as well as com. and

industrially important organisms from various and diverse environments. For example, the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi. The methods employ a testing system wherein an aq. suspension of microorganisms is introduced to one or more test substrates comprising redox purple (8-hydroxy-11-methyldibenz-[b,e][1,4]oxazepin-2-(11H)-one) and a gelling agent. The methods detect the response of the microorganisms to the test substrates. A testing device comprising a plurality of testing wells is well suited for the present invention. E. coli was tested on various carbon sources using redox purple sodium salt (prepn. given), resazurin sodium salt, or tetrazolium violet as the indicator. The gel matrix was carrageenan.

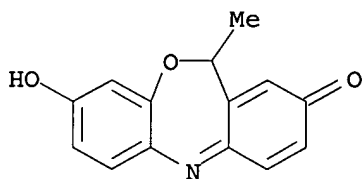
IT 50354-32-0P, Redox purple

RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(gel matrix with redox purple for testing and characterizing microorganisms)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:406127 CAPLUS

DOCUMENT NUMBER: 129:78824

TITLE: Gel matrix with redox purple for growing and testing microorganisms

INVENTOR(S): Bochner, Barry R.; Naleway, John J.

PATENT ASSIGNEE(S): Biolog, Inc., USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826270	A2	19980618	WO 1997-US22601	19971209
WO 9826270	A3	19980903		
W: JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5882882	A	19990316	US 1996-762656	19961209
PRIORITY APPLN. INFO.:			US 1996-762656	A 19961209
			US 1995-421377	A2 19950412

AB Methods and kits for the characterization of various microorganisms in a multitest format use a gel-forming matrix with redox purple and test substrates. In particular, the present invention is suited for the characterization of commonly encountered microorganisms (e.g., E. coli, S.

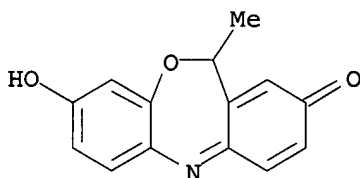
aureus, etc.), as well as com. and industrially important organisms from various and diverse environments. For example, the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi. Growth of *Aspergillus niger*, *Penicillium chrysogenum*, and *Trichoderma harzianum* fungi on various carbon sources was tested using redox purple (prepn. given) in Gelrite in wells of a Biolog SF-N Microplate. For each carbon source utilized by the organism, the content of the well was colorless. The wells of unused carbon sources were blue.

IT 209187-17-7

RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(gel matrix with redox purple for growing and testing microorganisms)

RN 209187-17-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl-, sodium salt (9CI) (CA INDEX NAME)



⊙ Na

L8 ANSWER 13 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:623166 CAPLUS

DOCUMENT NUMBER: 127:293256

TITLE: Preparation and formulation of 5,11-dihydrodibenz[b,e][1,4]oxazepine derivatives for improving the motor function of the digestive tract

INVENTOR(S): Tanaka, Yuji; Misumi, Keiji; Kawakami, Yoshinari; Moriguchi, Masahiko; Takahashi, Kazuyoshi; Okamoto, Hiroki; Kamisaki, Toshiaki; Inoue, Kimihiro; Sato, Makoto

PATENT ASSIGNEE(S): Ajinomoto, Inc., Japan; Tanaka, Yuji; Misumi, Keiji; Kawakami, Yoshinari; Moriguchi, Masahiko; Takahashi, Kazuyoshi; Okamoto, Hiroki; Kamisaki, Toshiaki; Inoue, Kimihiro; Sato, Makoto

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733885	A1	19970918	WO 1997-JP754	19970311
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,				

GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG

ZA 9702038	A	19970917	ZA 1997-2038	19970310
TW 479057	B	20020311	TW 1997-86102931	19970310
AU 9722335	A1	19971001	AU 1997-22335	19970311
AU 704521	B2	19990422		
EP 889043	A1	19990107	EP 1997-905478	19970311
EP 889043	B1	20010829		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI

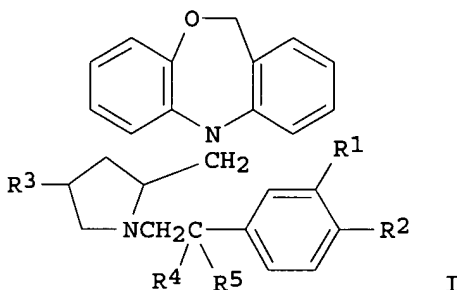
CN 1213371	A	19990407	CN 1997-193005	19970311
CN 1085209	B	20020522		
BR 9707962	A	19990727	BR 1997-7962	19970311
JP 3127469	B2	20010122	JP 1997-532434	19970311
AT 204871	E	20010915	AT 1997-905478	19970311
ES 2159843	T3	20011016	ES 1997-905478	19970311
NO 9804162	A	19981105	NO 1998-4162	19980910
US 6127361	A	20001003	US 1998-147012	19980911
US 6436922	B1	20020820	US 2000-597409	20000619

PRIORITY APPLN. INFO.:

JP 1996-83104	A	19960311
WO 1997-JP754	W	19970311
US 1998-147012	A1	19980911

OTHER SOURCE(S): MARPAT 127:293256

GI



AB The title compds. I [R1, R2 = H, halo, etc.; or R1R2 = O(CH2)nO; n = 1 - 3; R3 = H, OH; R4, R5 = H, OH; or R4R5 = O] are prepd. I are calcium antagonists improving the motor function of the digestive tract. In an in vitro test for calcium antagonism using guinea pig ileum fragment, (R)-(+)-5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenz[b,e][1,4]oxazepine hydrochloride (II) showed IC50 of 85 nM; in the test for calcium antagonism using rat artery fragment, II showed IC50 of 200 nM. II showed no anticholinergic activity. II gave better improvement of the motor function of the digestive tract than nifedipine. In the test for hypotensive activity, II showed ED50 of > 1000 mg/kg p.o., vs. ED50 of 4 mg/kg p.o. for nifedipine.

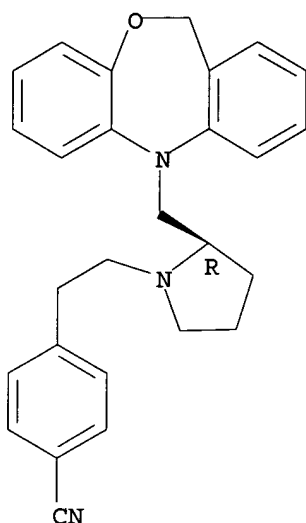
IT 195991-57-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydrodibenzoxazepine derivs. for improving the motor function of the digestive tract)

RN 195991-57-2 CAPLUS

CN Benzonitrile, 4-[2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 14 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:501445 CAPLUS
 DOCUMENT NUMBER: 127:121640
 TITLE: Piperidinecarboxylic acid derivatives for treatment of
 non-insulin-dependent diabetes mellitus
 INVENTOR(S): Olsen, Uffe Bang
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Olsen, Uffe Bang
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722342	A1	19970626	WO 1996-DK520	19961210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9711383	A1	19970714	AU 1997-11383	19961210
PRIORITY APPLN. INFO.:			DK 1995-1425	19951215
			WO 1996-DK520	19961210
OTHER SOURCE(S):		MARPAT 127:121640		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = H, halogen, CF3, alkyl, alkoxy; R3 = OH, alkoxy;
 R4, R5 = H; R4R5 = bond; X = (CH2)s; X1 = (CH2)r; Y = NCH2, CHCH2, C:CH,
 CHCH:N, C:N; Z = O, S, CH2, CH2CH2, CH:CHCH2, CH2CH:CH, (CH2)3, CH:CH,
 OCH2; m = 1, n = 1; m = 2, n = 0; p, q = 0, 1; r = 2-4; s = 0-2] were

prepd. for use in the treatment of insulin resistance related to NIDDM (non-insulin-dependent diabetes mellitus) or aging (no data). Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was treated with (ClCH₂CH₂)₂O and Et (R)-3-piperidinecarboxylate, followed by ester hydrolysis to give the acid II.

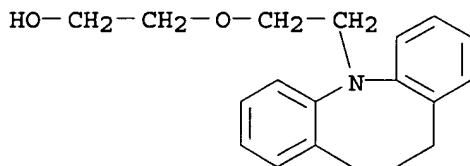
IT 146844-43-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperidinecarboxylic acid derivs. for treatment of non-insulin-dependent diabetes mellitus)

RN 146844-43-1 CAPLUS

CN Ethanol, 2-[2-(11,12-dihydrodibenz[b,g]azocin-5(10H)-yl)ethoxy] - (9CI)
(CA INDEX NAME)



L8 ANSWER 15 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:501427 CAPLUS

DOCUMENT NUMBER: 127:121639

TITLE: Piperidinecarboxylic acid derivatives for reducing blood glucose levels

INVENTOR(S): Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

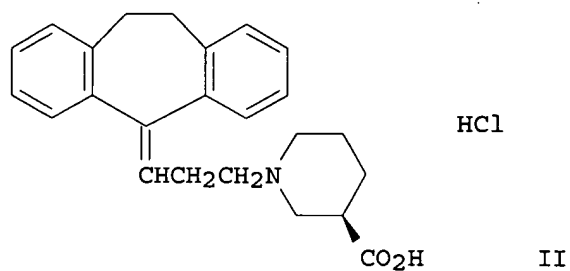
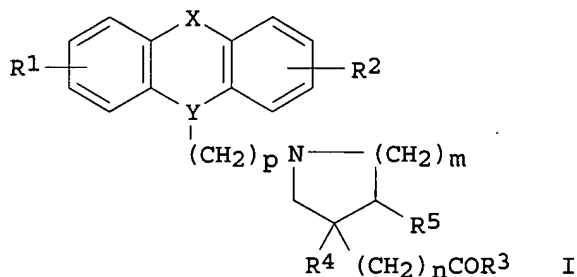
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722338	A1	19970626	WO 1996-DK524	19961212
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2239487	AA	19970626	CA 1996-2239487	19961212
AU 9711384	A1	19970714	AU 1997-11384	19961212
AU 704825	B2	19990506		
EP 869777	A1	19981014	EP 1996-942264	19961212
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
CN 1204258	A	19990106	CN 1996-199019	19961212
BR 9612005	A	19990209	BR 1996-12005	19961212
JP 3048067	B2	20000605	JP 1997-522429	19961212
US 5741791	A	19980421	US 1996-766839	19961213
NO 9802732	A	19980814	NO 1998-2732	19980612
PRIORITY APPLN. INFO.:			DK 1995-1426	A 19951215
			WO 1996-DK524	W 19961212
OTHER SOURCE(S):	MARPAT 127:121639			

GI



AB Title compds. I [R1, R2 = H, halogen, CF3, alkyl, alkoxy; R3 = OH, alkoxy; R4, R5 = H, R4R5 = bond; X = O, S, (un)substituted CH2, CH2CH2, CH:CHCH2, CH2CH:CH, (CH2)3, CH:CH, (un)substituted NHCO, OCH2, CO, CS; Y = NCH2, CHCH2, C:CH; m = n = 1; m = 2, n = 0; p = 1-3] were prepd. for use in reducing blood glucose and/or inhibiting the secretion, circulation or effect of insulin antagonizing peptides like CGRP or amylin. Thus, acid II was prepd. from 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-one in 4 steps. II at 100 mg/L in drinking water lowered CGRP levels in mice from 260 to 152 pg/mL.

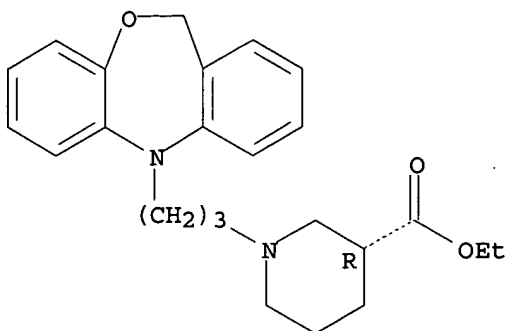
IT 170150-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylic acid derivs. for reducing blood glucose levels)

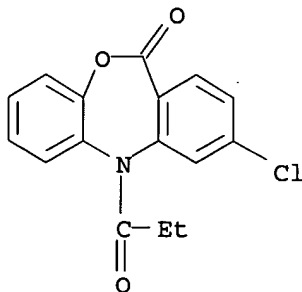
RN 170150-38-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



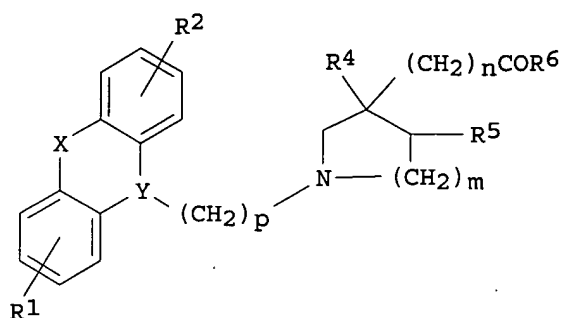
L8 ANSWER 16 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:324924 CAPLUS
 DOCUMENT NUMBER: 127:65747
 TITLE: Convenient synthesis of 6-substituted-2-chloro-5,12-dihydro-5-oxobenzoxazolo[3,2-a]quinolines and N-acylated-3-chlorodibenz[b,e][1,4]oxazepin-11(5H)-ones
 AUTHOR(S): Chung, Sang J.; Joo, Keum Chan; Kim, Dong H.
 CORPORATE SOURCE: Department of Chemistry and Center for Biofunctional Molecules, Pohang University of Science and Technology, Hyojadong Pohang, 790-784, S. Korea
 SOURCE: Journal of Heterocyclic Chemistry (1997), 34(2), 485-488
 CODEN: JHTCAD; ISSN: 0022-152X
 PUBLISHER: HeteroCorporation
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 127:65747
 AB Convenient synthesis of variously substituted 2-chloro-5,12-dihydro-5-oxobenzoxazolo[3,2-a]quinolines at the 6-position and N-acylated-3-chlorodibenz[b,e][1,4]oxazepin-11(5H)-ones are reported. The former compds. were obtained in 65-93% yield by simply heating N-acyl-4-chloro-N-(2-hydroxyphenyl)anthranilic acids in acetic anhydride for 4 h, and the latter by heating the sodium salt of N-acyl-4-chloro-N-(2-hydroxyphenyl)anthranilic acids with acetic anhydride.
 IT 191337-64-1P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 191337-64-1 CAPLUS
 CN Dibenz[b,e][1,4]oxazepin-11(5H)-one, 3-chloro-5-(1-oxopropyl)- (9CI) (CA INDEX NAME)



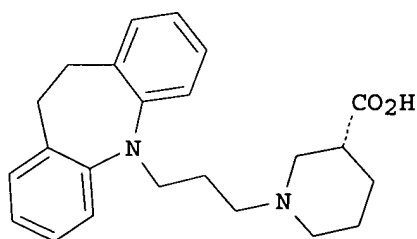
09/ 076,575

L8 ANSWER 17 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:913379 CAPLUS
DOCUMENT NUMBER: 123:313776
TITLE: Novel azaheterocyclic acids useful as analgesics and
antiinflammatories.
INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang; Petersen, Hans;
Groenvald, Frederik Christian; Sonnewald, Ursula;
Joergensen, Tine Krogh; Andersen, Henrik Sune
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518793	A1	19950713	WO 1995-DK2	19950103
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IL 112222	A1	19991231	IL 1995-112222	19950102
CA 2180238	AA	19950713	CA 1995-2180238	19950103
AU 9513110	A1	19950801	AU 1995-13110	19950103
AU 691858	B2	19980528		
EP 738262	A1	19961023	EP 1995-904409	19950103
EP 738262	B1	20000419		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1142226	A	19970205	CN 1995-191845	19950103
CN 1083431	B	20020424		
HU 75878	A2	19970528	HU 1996-1842	19950103
JP 09507239	T2	19970722	JP 1995-518275	19950103
JP 2944221	B2	19990830		
BR 9506452	A	19970902	BR 1995-6452	19950103
CZ 286109	B6	20000112	CZ 1996-1921	19950103
AT 191909	E	20000515	AT 1995-904409	19950103
ES 2147837	T3	20001001	ES 1995-904409	19950103
PL 180209	B1	20010131	PL 1995-315294	19950103
RU 2167152	C2	20010520	RU 1996-116134	19950103
NZ 277763	A	20011130	NZ 1995-277763	19950103
ZA 9500031	A	19960704	ZA 1995-31	19950104
NO 9602811	A	19960904	NO 1996-2811	19960703
FI 9602749	A	19960904	FI 1996-2749	19960704
PRIORITY APPLN. INFO.:			DK 1994-19	A 19940104
			DK 1994-1290	A 19941109
			WO 1995-DK2	W 19950103
OTHER SOURCE(S):		CASREACT 123:313776; MARPAT 123:313776		
GI				



I



II

AB The invention relates to novel N-substituted azaheterocyclic carboxylic acids and esters I [R1, R2 = H, halo, CF3, alkyl, alkoxy; Y = NCH2, CHCH2, or C:CH, where only the 1st atom is within the ring; X = O, S, CR7R8, CH2CH2, CH:CHCH2, CH2CH:CH, CH2CH2CH2, CH:CH, NR9CO, OCH2, CO, SO; R7, R8, R9 = H, alkyl; p = 1, 2, 3; m = 1, 2; n = 1 when m = 1; or n = 0 when m = 2; R4 = R5 = H, or R4R5 = bond when m = 2; R6 = OH, alkoxy]. Also disclosed are prepn. of I, compns. contg. I, and use of I for treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. For example, 10,11-dihydro-5H-dibenz[b,f]azepine was alkylated in the 5-position by NaH and 3-bromopropyl tetrahydro-2-pyranyl ether, followed by deprotection with HCl in refluxing aq. MeOH, to give the 5-(3-hydroxypropyl) deriv. This underwent mesylation with MeSO2Cl and Et3N, and the mesylate was treated with (R)-3-piperidinecarboxylic acid Et ester (tartrate salt) and then hydrolyzed to give title compd. II, isolated as the HCl salt (III). In the formalin-induced pain response test in mice, III at 0.1 mg/kg gave 50% inhibition.

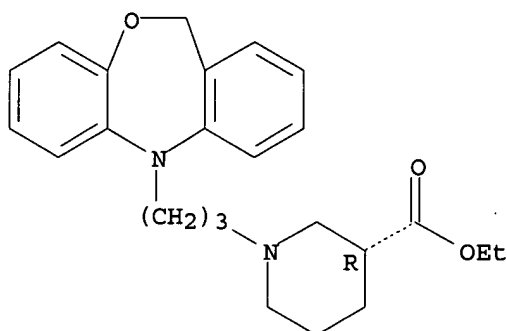
IT 170150-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of azaheterocyclic acids as analgesics and antiinflammatories)

RN 170150-38-6 CAPLUS

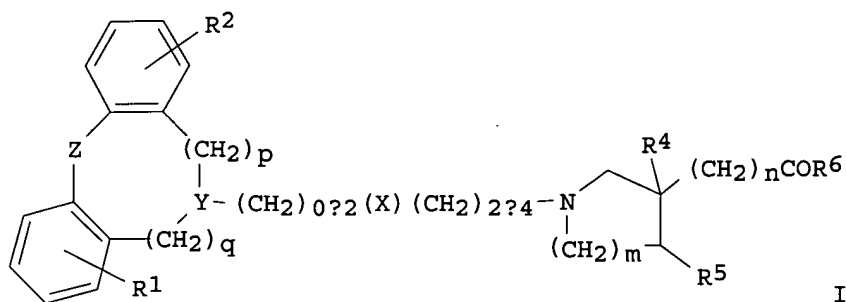
CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 18 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1995:808091 CAPLUS
 DOCUMENT NUMBER: 123:188590
 TITLE: A method of treating neurogenic inflammation
 INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518615	A1	19950713	WO 1995-DK3	19950103
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2180239	AA	19950713	CA 1995-2180239	19950103
AU 9513111	A1	19950801	AU 1995-13111	19950103
EP 735872	A1	19961009	EP 1995-904410	19950103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1142183	A	19970205	CN 1995-191801	19950103
HU 76281	A2	19970728	HU 1996-1826	19950103
JP 09507849	T2	19970812	JP 1995-518276	19950103
BR 9506453	A	19970902	BR 1995-6453	19950103
ZA 9500030	A	19960704	ZA 1995-30	19950104
NO 9602812	A	19960904	NO 1996-2812	19960703
FI 9602750	A	19960904	FI 1996-2750	19960704
PRIORITY APPLN. INFO.:			DK 1994-20	19940104
			WO 1995-DK3	19950103
OTHER SOURCE(S):		MARPAT 123:188590		
GI				



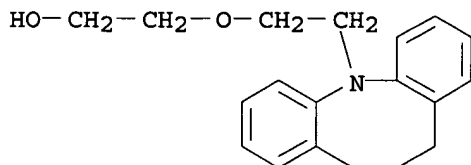
AB A method of treating neurogenic inflammation comprises administering an effective amt. of a compd. I [R₁, R₂ = H, halogen, trifluoromethyl, C₁-6 alkyl or alkoxy; Y = NCH₂, CHCH₂; C:CH, CHCH:N, C:N; X = O; Z = O, S, CH₂, (CH₂)₂, CH:CHCH₂, CH₂CH:CH, (CH₂)₃, CH:CH, OCH₂; R₄, R₅ = H or a bond; R₆ = OH, C₁-8 alkoxy; p, q = 0, 1; a = 0-2; b = 2-4; m = 1, 2; n = 0, 1] or a pharmaceutically acceptable salt thereof.

IT **146844-43-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(piperidine carboxylate derivs. as neurogenic inflammation inhibitors)

RN 146844-43-1 CAPLUS

CN Ethanol, 2-[2-(11,12-dihydrodibenz[b,g]azocin-5(10H)-yl)ethoxy] - (9CI)
(CA INDEX NAME)



L8 ANSWER 19 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:570871 CAPLUS

DOCUMENT NUMBER: 122:314588

TITLE: Preparation of sulfonamide and sulfonic ester derivatives each having tricyclic heterocyclic ring as antitumor agents

INVENTOR(S): Yoshino, Hiroshi; Ueda, Norihiro; Niijima, Jun; Haneda, Toru; Kotake, Yoshihiko; Yoshimatsu, Kentaro; Watanabe, Tatsuo; Nagasu, Takeshi; Tsukahara, Naoko; et al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9503279	A1	19950202	WO 1994-JP1231	19940726
W: CA, FI, NO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2144854	AA	19950202	CA 1994-2144854	19940726

EP 679641	A1	19951102	EP 1994-921819	19940726
EP 679641	B1	20021002		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 08081441	A2	19960326	JP 1994-174643	19940726
AT 225334	E	20021015	AT 1994-921819	19940726
NO 9501108	A	19950523	NO 1995-1108	19950323
US 5834462	A	19981110	US 1995-397254	19950323
FI 9501416	A	19950517	FI 1995-1416	19950324
US 5854274	A	19981229	US 1996-760738	19961205
US 5846969	A	19981208	US 1997-873033	19970611
PRIORITY APPLN. INFO.:			JP 1993-202466	A 19930726
			JP 1994-158870	A 19940711
			WO 1994-JP1231	W 19940726
			US 1995-397254	A3 19950323
			US 1996-760738	A3 19961205

OTHER SOURCE(S): MARPAT 122:314588

GI For diagram(s), see printed CA Issue.

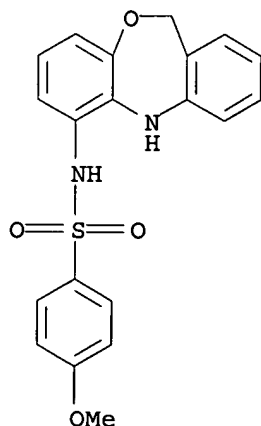
AB N-heterocyclarylarylsulfonamide and heterocyclaryl arylsulfonate derivs. each having a tricyclic hetero ring, represented by general formula G-SO₂-L-M [G = a 5- or 6-membered arom. ring; L = O or NR₁, wherein R₁ = H or lower alkyl; M = a tricyclic structure selected from the members Q - Q₅, wherein rings A and B represent each a 5 or 6-membered unsatd. ring; X = NR₂ (wherein R₂ = H or lower alkyl) or NHCO; Y = O, S(O)_n, CR₃R₄, CO, NR₅, CHR₆CHR₇, CR₈:R₉, NR₁₀CO, N:CR₁₁, OCHR₁₂, S(O)_nCH₁₃, or NR₁₄CHR₁₅; Z = N or CR₁₆, wherein n represents 0, 1 or 2; R₃ - R₁₃, R₁₅, R₁₆ = H or lower alkyl; R₁₄ = H, lower alkyl, or lower acyl] are prepd. Thus, 107 mg 1-amino-10H-phenothiazine was dissolved in pyridine and a soln. of 115 mg 4-methoxybenzenesulfonyl chloride in THF was added followed by stirring the mixt. overnight at room temp. to give, after silica gel chromatog., a title compd. (I) (115 mg). I and phenothiazin-3-one deriv. (II) showed IC₅₀ of 0.11 and 0.016 .mu.g/mL against KB cells (human nasal cavity cancer). A total of 49 I were prepd.

IT 163307-93-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-heterocyclarylarylsulfonamide as antitumor agent)

RN 163307-93-5 CAPLUS

CN Benzenesulfonamide, N-(5,11-dihydrodibenz[b,e][1,4]oxazepin-6-yl)-4-methoxy- (9CI) (CA INDEX NAME)



09/ 076,575

TITLE: Mediators suitable for the electrochemical
regeneration of NADH, NADPH or their analogs
INVENTOR(S): Corey, Paul F.; Musho, Matthew K.
PATENT ASSIGNEE(S): Miles Inc., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5393615	A	19950228	US 1994-190855	19940203
AU 9480280	A1	19950810	AU 1994-80280	19941207
AU 674463	B2	19961219		
EP 667397	A1	19950816	EP 1995-100849	19950123
EP 667397	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 206466	E	20011015	AT 1995-100849	19950123
ES 2161787	T3	20011216	ES 1995-100849	19950123
CA 2141494	AA	19950804	CA 1995-2141494	19950131
CA 2141494	C	20030114		
JP 07310194	A2	19951128	JP 1995-15025	19950201

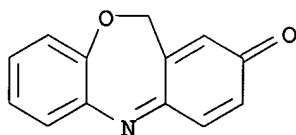
PRIORITY APPLN. INFO.: US 1994-190855 A 19940203

AB Disclosed is the use of 9H-acridin-2-one and 11H-dibenz-[b,e][1,4]oxazepin-2-one compds. as mediators suitable for the electrochem. regeneration of the coenzymes dihydronicotinamide adenine dinucleotide (NADH), dihydronicotinamide adenine dinucleotide phosphate (NADPH), or their analogs.

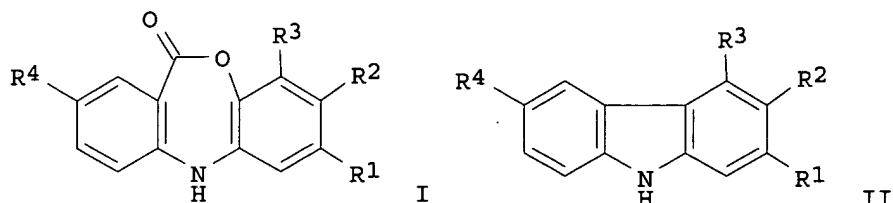
IT **162964-68-3DP**, Dibenz[b,e][1,4]oxazepin-2(11H)-one, compds.
RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(mediators for electrochem. regeneration of NADH or NADPH or their analogs)

RN 162964-68-3 CAPLUS

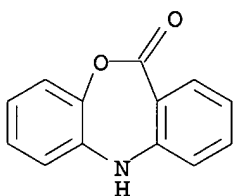
CN Dibenz[b,e][1,4]oxazepin-2(11H)-one (9CI) (CA INDEX NAME)



L8 ANSWER 21 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:655579 CAPLUS
DOCUMENT NUMBER: 121:255579
TITLE: Photochemical synthesis of carbazoles from
dibenzo[b,e][1,4]oxazepin-11(5H)-ones
AUTHOR(S): Kudav, Dinesh P.; Kulkarni, Narendra N.; Hosangadi,
Bhaskar D.
CORPORATE SOURCE: Dep. Chem., Univ. Bombay, Bombay, 400 098, India
SOURCE: Journal of Chemical Research, Synopses (1994), (7),
266-7
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:255579
GI



AB Dibenzo[b,e][1,4]oxazepin-11(5H)-ones I (R1-R4 = H, Me, OMe, nitro) were
 prepd. from substituted anthranilic acid derivs. The photochem.
 cyclocondensation reaction of I furnished the carbazoles II (Same R1-R4).
 IT **15676-55-8P**, Depsazidone
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for carbazole)
 RN 15676-55-8 CAPLUS
 CN Dibenzo[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)

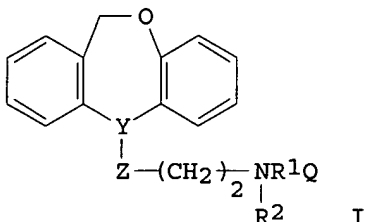


L8 ANSWER 22 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1994:595901 CAPLUS
 DOCUMENT NUMBER: 121:195901
 TITLE: Immunogen and tracer reagents and methods for the
 immunochemical quantification of total doxepins in
 biological fluids
 INVENTOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Johnson,
 Donald; Hruska, Robert E.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 738,400,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5332661	A	19940726	US 1992-916066	19920724
CA 2111467	AA	19930218	CA 1992-2111467	19920729
CA 2111467	C	20021112		
WO 9303372	A1	19930218	WO 1992-US6318	19920729
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9224206	A1	19930302	AU 1992-24206	19920729
EP 641440	A1	19950308	EP 1992-917171	19920729
EP 641440	B1	20001108		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 3071824	B2	20000731	JP 1993-503720	19920729

09/ 076,575

JP 06509797	T2	19941102		
AT 197508	E	20001111	AT 1992-917171	19920729
ES 2153361	T3	20010301	ES 1992-917171	19920729
US 5464767	A	19951107	US 1994-226809	19940412
PRIORITY APPLN. INFO.:			US 1991-738400	B2 19910731
			US 1992-916066	A 19920724
			WO 1992-US6318	A 19920729
OTHER SOURCE(S):	MARPAT	121:195901		
GI				



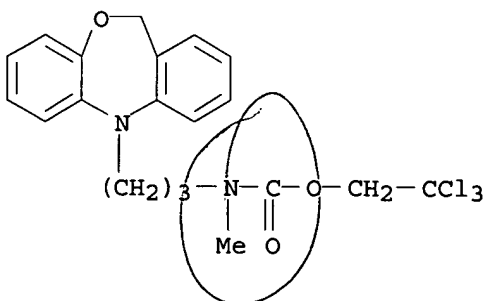
AB Immunoassay methods and reagents for the quantification of total doxepins (i.e., E-doxepin, Z-doxepin, E-desmethyldoxepin, and Z-desmethyldoxepin) in a test sample are disclosed. The methodol. uses antibodies prepd. with immunogens I (YZ = NCH₂, CH:CH, R₁ = linking group with 1-6 C and 0-2 heteroatoms; R₂ = H, Me; Q = immunogenic carrier) and labeled reagents I (YZ, R₁, R₂ as above; Q = detectable moiety). Prepn. of immunogens and labeled compds. is included. A fluorescence polarization immunoassay for total doxepins using the compds. of the invention is described; std. curves are included. There was a good correlation of the above assay with an HPLC assay.

IT 141990-98-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in reagent prepn. for total doxepin immunoassay)

RN 141990-98-9 CAPLUS

CN Carbamic acid, (3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)methyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 23 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:472937 CAPLUS

DOCUMENT NUMBER: 119:72937

TITLE: A new chromogenic beta-galactosidase substrate based on the redox indicator dye 'methyl purple'

AUTHOR(S): Corey, Paul F.

CORPORATE SOURCE: Diagn. Div., Miles Inc., Elkhart, IN, 46515, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(2), 175-8

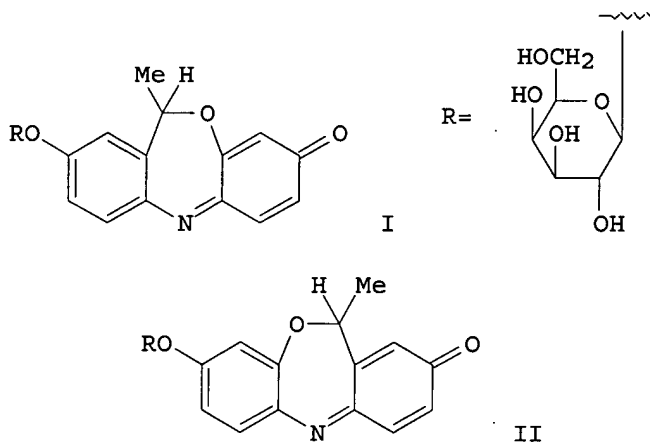
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



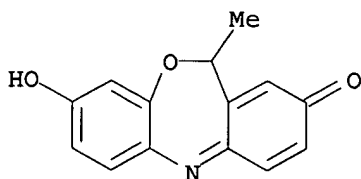
AB The .beta.-galactoside of 'methyl purple' I and II is a new chromogenic substrate that exhibits a 137 nm color shift upon hydrolysis at pH 7.4, a K_m of 0.075 mM and a k_{cat} of 1.2 .times. 104 mol min⁻¹/mol of .beta.-galactosidase active site.

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(glycosidation of)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 24 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:205217 CAPLUS

DOCUMENT NUMBER: 118:205217

TITLE: Reagents and methods for the immunochemical quantification of total tricyclic antidepressant doxepins in biological fluids

INVENTOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Hruska, Robert E.; Johnson, Donald

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9303372	A1	19930218	WO 1992-US6318	19920729
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
US 5332661	A	19940726	US 1992-916066	19920724
AU 9224206	A1	19930302	AU 1992-24206	19920729
EP 641440	A1	19950308	EP 1992-917171	19920729
EP 641440	B1	20001108		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 3071824	B2	20000731	JP 1993-503720	19920729
JP 06509797	T2	19941102		
AT 197508	E	20001111	AT 1992-917171	19920729
PRIORITY APPLN. INFO.:			US 1991-738400	A 19910731
			US 1992-916066	A 19920724
			WO 1992-US6318	A 19920729

OTHER SOURCE(S): MARPAT 118:205217

AB Immunoassay methods and reagents are disclosed for the detn. of total doxepins (i.e. E-doxepin, Z-doxepin, E-demethyldoxepin, and Z-desmethyldoxepin) in a test sample. Doxepin derivs. contg. a conjugated immunogenic protein (for antibody prodn.) or a detectable label (for a tracer) are provided (Markush included). Prepn. of doxepin derivs. and their conjugation with albumin or reaction with e.g. aminomethylfluorescein are described. Antisera raised using the prepd. immunogens, as well as the prepd. tracers, were used in a fluorescence-polarization immunoassay for total doxepins (std. curves included). Linear regression anal. showed a good correlation between the assay of the invention and an HPLC assay.

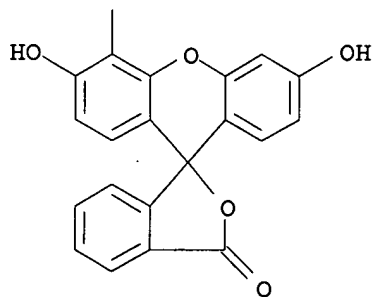
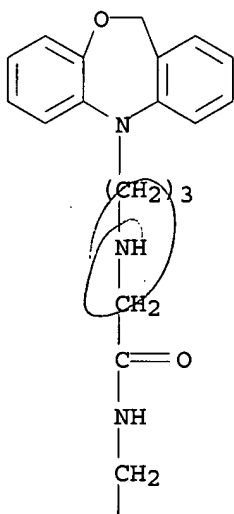
IT 147392-99-2

RL: ANST (Analytical study)

(as tracer for total doxepin immunoassay)

RN 147392-99-2 CAPLUS

CN Acetamide, 2-[(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)amino]-N-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-4'-yl)methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 25 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1993:168995 CAPLUS
 DOCUMENT NUMBER: 118:168995
 TITLE: Novel heterocyclic carboxylic acids
 INVENTOR(S): Andersen, Knud Erik; Knutsen, Lars Jacob Stray;
 Soerensen, Per Olav; Lundt, Behrend Friedrich; Lau,
 Jesper; Petersen, Hans
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

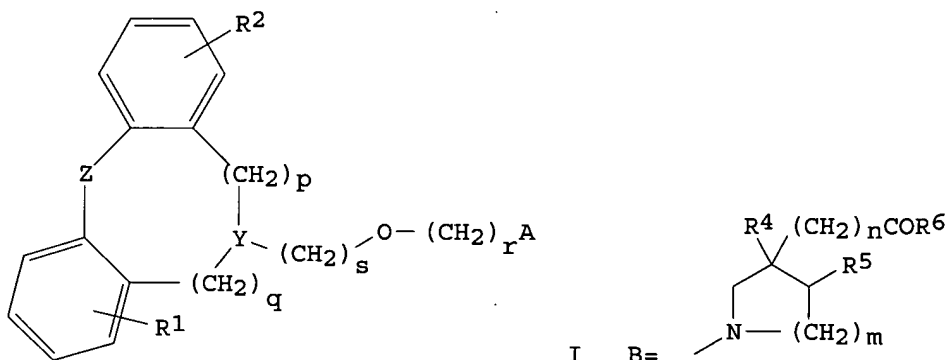
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9220658	A1	19921126	WO 1992-DK155	19920514
W: AU, BG, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2102811	AA	19921118	CA 1992-2102811	19920514
AU 9217837	A1	19921230	AU 1992-17837	19920514
AU 665761	B2	19960118		
EP 585314	A1	19940309	EP 1992-910899	19920514
EP 585314	B1	19960918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06507616	T2	19940901	JP 1992-509775	19920514
US 5348965	A	19940920	US 1992-882788	19920514
AT 143009	E	19961015	AT 1992-910899	19920514
ES 2094357	T3	19970116	ES 1992-910899	19920514
ZA 9203556	A	19930127	ZA 1992-3556	19920515
IL 101887	A1	19961016	IL 1992-101887	19920515
NO 9304159	A	19931117	NO 1993-4159	19931117

PRIORITY APPLN. INFO.: DK 1991-937 19910517
WO 1992-DK155 19920514

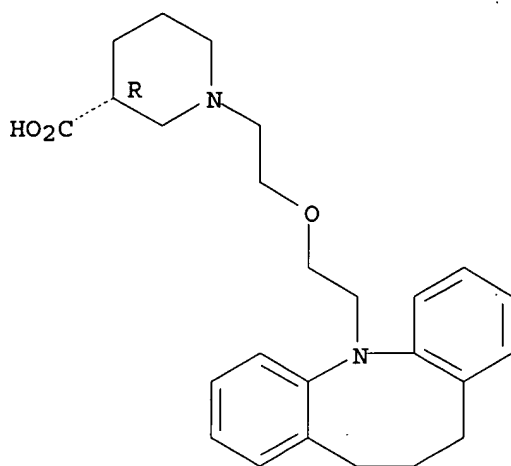
OTHER SOURCE(S): MARPAT 118:168995

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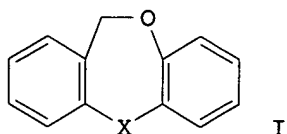
- AB The title compd. I (A = B; R1, R2 = H, halo, F, C, C1-6-alkyl, -alkoxy; R4, R5 = H; R4R5 = direct bond; R6 = OH, C1-8-alkoxy; Y = >NCH2-, >CHCH2-, >C:CH-; Z = O, S, CH2, etc.; m, n, p-s = 0-4) (II) were prepd. by treating I (A = halo, p-toluenesulfonate, mesylate) with BH in the presence of an alkali metal iodide and K2CO3. II are useful in treating a central nervous system ailment related to GABA uptake.
- IT **146844-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and GABA inhibition by)
- RN 146844-18-0 CAPLUS
- CN 3-Piperidinecarboxylic acid, 1-[2-[2-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)ethoxy]ethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

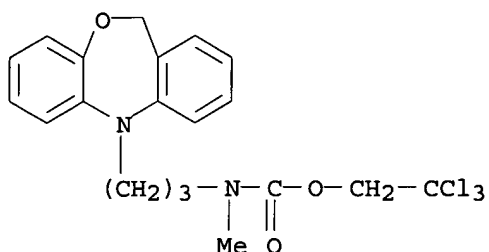


● HCl

L8 ANSWER 26 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1992:426530 CAPLUS
 DOCUMENT NUMBER: 117:26530
 TITLE: Efficient synthesis of tricyclic antidepressant
 normetabolites.
 AUTHOR(S): Adamczyk, Maciek; Fishpauh, Jeffrey R.; Johnson,
 Donald
 CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, 60064, USA
 SOURCE: Organic Preparations and Procedures International
 (1992), 24(2), 168-71
 CODEN: OPPIAK; ISSN: 0030-4948
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:26530
 GI

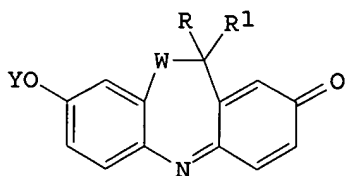


AB E- And Z-doxepins (I, X = C:CHCH₂CH₂NMe₂) and dibenz[b,e][1,4]oxazepine I
 (X = NCH₂CH₂CH₂NMe₂) were N-demethylated by sequential treatment with
 Cl₃CCCH₂OCOC₂H₅/EtN(CHMe₂)₂/CHCl₃ and Zn/THF to give I (X = C:CHCH₂CH₂NHMe,
 NCH₂CH₂CH₂NHMe), resp., via carbamates I (X = C:CHCH₂CH₂NMeCO₂CH₂CCl₃,
 NCH₂CH₂CH₂NMeCO₂CH₂CCl₃).
 IT **141990-98-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and reductive deacylation of, with zinc)
 RN 141990-98-9 CAPLUS
 CN Carbamic acid, (3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)methyl-,
 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

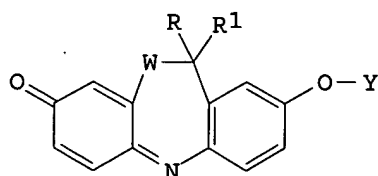


L8 ANSWER 27 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:247659 CAPLUS
 DOCUMENT NUMBER: 114:247659
 TITLE: Preparation of chromogenic hydroxydibenzoxazepinones and -dibenzothiazepiones, including their glycosides, as substrates for enzyme detection
 INVENTOR(S): Corey, Paul F.
 PATENT ASSIGNEE(S): Miles, Inc., USA
 SOURCE: Eur. Pat. Appl., 18 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 402699	A2	19901219	EP 1990-110198	19900530
EP 402699	A3	19910130		
EP 402699	B1	19950222		
R: DE, FR, GB, IT				
US 5104980	A	19920414	US 1989-364157	19890612
CA 2013525	AA	19901212	CA 1990-2013525	19900330
CA 2013525	C	19970304		
AU 9053967	A1	19910103	AU 1990-53967	19900426
AU 609008	B2	19910418		
JP 03041073	A2	19910221	JP 1990-150072	19900611
JP 3072350	B2	20000731		
DD 297965	A5	19920130	DD 1990-341536	19900611
US 5183743	A	19930202	US 1991-800112	19911129
PRIORITY APPLN. INFO.:			US 1989-364157	A 19890612
OTHER SOURCE(S):	MARPAT 114:247659			
GI				



I



II

AB The title compds. [I, II; Y = enzyme-cleavable group, e.g., glycosyl, acylglycosyl, acyl, (HO)2P(O); W = O, S; R, R1 = H, alkyl, aryl] were prepd. I [R = Me, R1 = Y = H, W = O] was glycosidated with acetobromogalactose in the presence of Ag2O in quinoline/AcOEt to give I [R = Me, R1 = H, W = O, Y = tetra-O-acetylgalactopyranosyl], which was sensitive enough to detect .beta.-galactosidase at 0.025 IU/mL.

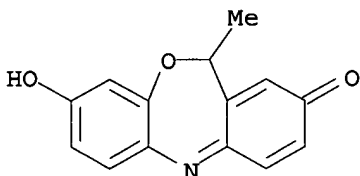
09/ 076,575

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(glycosidation of)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 28 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:98410 CAPLUS

DOCUMENT NUMBER: 112:98410

TITLE: Dibenzoxocinamines and related compounds as antipsychotics

INVENTOR(S): Rae, Duncan Robertson; Cairns, James

PATENT ASSIGNEE(S): AKZO N. V., Neth.

SOURCE: Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

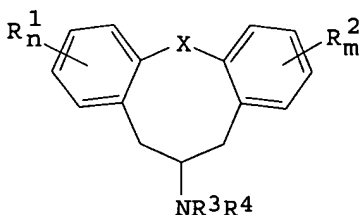
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

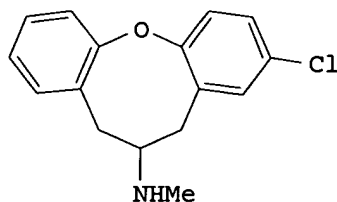
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 332246	A1	19890913	EP 1989-200473	19890227
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
ZA 8901625	A	19891129	ZA 1989-1625	19890302
FI 8901099	A	19890912	FI 1989-1099	19890308
US 4904688	A	19900227	US 1989-320340	19890308
DK 8901152	A	19890912	DK 1989-1152	19890309
JP 02004740	A2	19900109	JP 1989-57656	19890309
AU 8931205	A1	19890914	AU 1989-31205	19890310
PRIORITY APPLN. INFO.:			EP 1988-302129	19880311

OTHER SOURCE(S): MARPAT 112:98410

GI



I



II

AB The title compds. (I; R1, R2 = H, OH, C1-6 alkyl, alkoxy, halo, CF3, CN; R3, R4 = H, C1-6 alkyl; R3R4N = 5- or 6-membered heterocyclyl; X = O, S, CH2, imino; m, n = 1-4), useful as antipsychotics devoid of extrapyramidal side effects (no data), were prep'd. Thus, 5H-dibenz[b,g]oxocin-6(7H)-one (prepn. given) was refluxed 3 h in HCO2H/methylformamide contg. MgCl2.6H2O

09/ 076,575

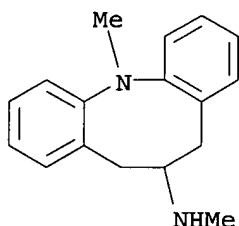
to give 6,7-dihydro-N-methyl-5H-dibenz[b,g]oxocine-6-formamide. The latter was refluxed with EtOH/50% aq. NaOH for 18 h to give 6,7-dihydro-N-methyl-5H-dibenz[b,g]oxocin-6-amine, isolated as the HCl salt. The preferred I is oxocinamine II. I are said to be very potent dopamine and serotonin antagonists.

IT 125449-17-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antipsychotic)

RN 125449-17-4 CAPLUS

CN Dibenz[b,g]azocin-6-amine, 5,6,7,12-tetrahydro-N,12-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L8 ANSWER 29 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:640655 CAPLUS

DOCUMENT NUMBER: 109:240655

TITLE: Electrophotographic photoreceptor containing hydrazone charge-transporting material

INVENTOR(S): Hirose, Hisahiro; Kinoshita, Akira; Takei, Yoshiaki; Goto, Satoshi

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63186249	A2	19880801	JP 1987-17752	19870128
PRIORITY APPLN. INFO.:			JP 1987-17752	19870128

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title electrophotog. photoreceptor has a layer contg. I [Y = bonding chain, unsubstituted methylene, (substituted) ethylene, (substituted) vinylene, (substituted) propylene; R1,R2 = (substituted) alkyl, (substituted) aryl, (substituted) aralkyl; R3-R10 = H, alkyl, alkoxy, OH, halogen; Ar1,Ar2 = (substituted) benzene ring; (substituted) polycondensed ring, (substituted) heterocyclic ring] as a charge-transporting material. The photoreceptor shows improved sensitivity, and durability. An

electrophotog. photoreceptor having a charge-generating layer contg. II and a charge-transporting layer contg. III showed the surface potential $V_a = 1250$ V at the 1st measurement $V_a = 1190$ V at the 100th measurement, and the exposure value $E50500 = 7.0$ lx-s at the 1st measurement and $E50500 = 6.7$ lx-s at the 100th measurement.

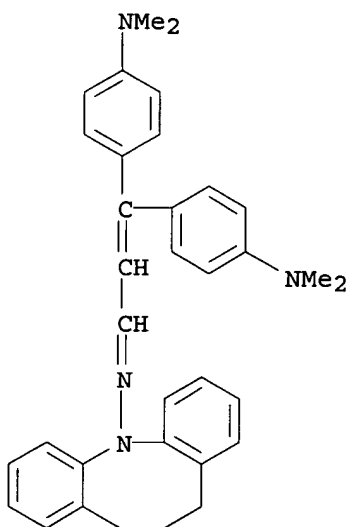
IT 117791-64-7

RL: USES (Uses)

(charge-transporting material, electrophotog. photoreceptor contg.)

RN 117791-64-7 CAPLUS

CN Dibenz[b,g]azocin-12(5H)-amine, N-[3,3-bis[4-(dimethylamino)phenyl]-2-propenylidene]-6,7-dihydro- (9CI) (CA INDEX NAME)



L8 ANSWER 30 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:458829 CAPLUS

DOCUMENT NUMBER: 107:58829

TITLE: The chemistry of 5,6,7,12-tetrahydro-5,7-dioxo-N-phenyldibenz[b,g]azocine: a new entry in the dibenz[b,g]azocine class

AUTHOR(S): Fox, John L.; Chen, Chin H.; Luss, Henry R.

CORPORATE SOURCE: Corp. Res. Lab., Eastman Kodak Co., Rochester, NY, 14650, USA

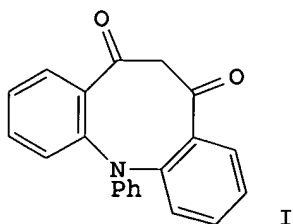
SOURCE: Journal of Organic Chemistry (1987), 52(14), 2980-3
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:58829

GI



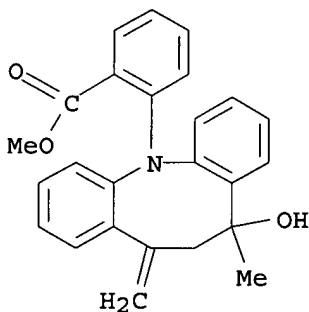
AB The title compd. I was isolated as a byproduct of methylating the sterically hindered 2,2'-dicarbomethoxytriphenylamine. The isolation, chem. and phys. characterization, and single-crystal x-ray structure of the title compd. are described. The structure and properties for several derivs. are also reported.

IT 108561-09-7P

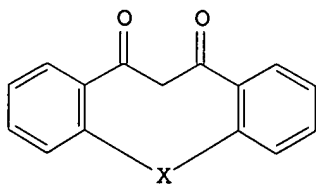
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ring cleavage of)

RN 108561-09-7 CAPLUS

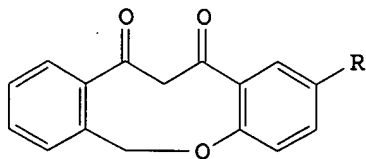
CN Benzoic acid, 2-(6,7-dihydro-5-hydroxy-5-methyl-7-methylenedibenz[b,g]azocin-12(5H)-yl)-, methyl ester (9CI) (CA INDEX NAME)



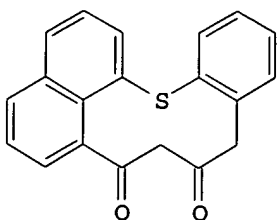
L8 ANSWER 31 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1987:458825 CAPLUS
 DOCUMENT NUMBER: 107:58825
 TITLE: Dibenzocyclooctene-, dibenzochalcocine-, and diarenochalconinediones
 AUTHOR(S): Hellwinkel, Dieter; Bohnet, Siegbert
 CORPORATE SOURCE: Org. Chem. Inst., Univ. Heidelberg, Heidelberg, D-6900/1, Fed. Rep. Ger.
 SOURCE: Chemische Berichte (1987), 120(7), 1151-73
 CODEN: CHBEAM; ISSN: 0009-2940
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 107:58825
 GI



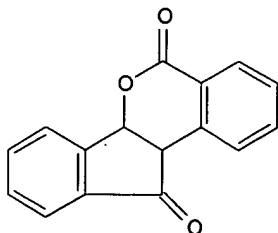
I



II



III



IV

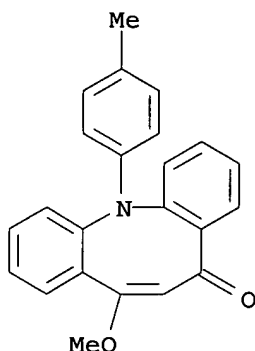
AB 2,2'-Oxybis-, -thiobis-, and -methylenebisbenzoic esters react with MeLi in ether to give low yields of 5H-dibenzo[b,g]chalcocine-5,7(6H)-diones I (X = O, S) and dibenzo[a,d]cyclooctene-5,7(6H,12H)-dione (I; X = CH₂), resp. Very good yields of such heterocycles with oxygen, e.g., I (X = O), sulfur, e.g., I (X = S), and selenium I (X = Se) as key atoms are obtained when diaryl ethers, -sulfides, and -selenides that contain 2'-acetyl- (or-propionyl-) and 2-methoxycarbonyl groups are treated with NaH in boiling toluene. Analogously are prepd. the dibenz[b,g]oxonine-11,13(6H,12H)-diones II (R = H, Me, MeO) and 7H-benzo[h]naphtho[1,8-bc]thionine-7,9(8H)-dione (III), which are expanded by one ring member. In the analogous reaction of a corresponding benzophenone deriv. spiro[1H-indene-1,1'(3'H)-isobenzofuran]-3(2H),3'-dione (IV) is formed in a tandem reaction. Under phase transfer conditions the dibenzochalcocinediones and also the corresponding nitrogen cycles react to give mixts. of C- and O-alkyl derivs. With bromine and SO₂Cl₂, resp., the methylene group is mono- or dihalogenated to give the products.

IT 104014-54-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 104014-54-2 CAPLUS

CN Dibenzo[b,g]azocin-5(12H)-one, 7-methoxy-12-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 32 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:4845 CAPLUS

DOCUMENT NUMBER: 106:4845

TITLE: 12-Organoyldibenzo[b,g]azocine-5,7-diones

AUTHOR(S): Hellwinkel, Dieter; Ittemann, Peter

CORPORATE SOURCE: Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, 6900, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1986), 119(10), 3165-97

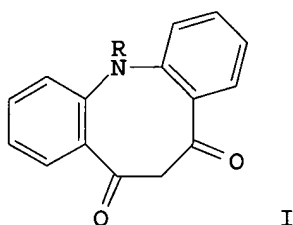
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 106:4845

GI



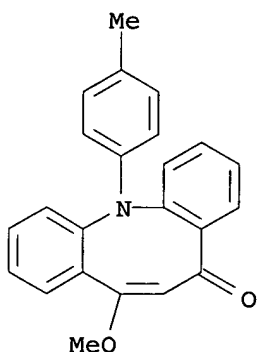
AB The title compds. I (R = Ph, substituted Ph, 1-naphthyl) and the p-phenylene dimer are formed in low yields on treatment of (2-MeO₂CC₆H₄)₂NR with MeLi, but in high yields in the intramol. ester condensation of 2-AcC₆H₄NRC₆H₄CO₂Me-2 with NaH. I exist exclusively in the .beta.-diketo form and react with excess NaH or LiH to give the enolates. These, on treatment with MeI, form mixts. of C- and O-methylated derivs. Nucleophiles, such as NH₂OH, arylhydrazines, MeLi, and also LiAlH₄, condense or add to the carbonyl groups, whereas KOH-MeOH leads to ester or acid cleavage with ring opening. Electrophiles react predominantly at the N-aryl groups, but under more severe conditions also at the fused arenes. Strong acids, however, cause formal ketene extrusion and ring contraction, leading to acridones.

IT 104014-54-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 104014-54-2 CAPLUS

CN Dibenz[b,g]azocin-5(12H)-one, 7-methoxy-12-(4-methylphenyl) - (9CI) (CA INDEX NAME)



L8 ANSWER 33 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1985:109297 CAPLUS

DOCUMENT NUMBER: 102:109297

TITLE: Methyl purple, an exceptionally sensitive monitor of chloroplast photosystem I turnover: physical properties and synthesis

AUTHOR(S): Graan, Thomas; Ort, Donald R.; Prince, Roger C.

CORPORATE SOURCE: Dep. Plant Biol., Univ. Illinois, Urbana, IL, 61801, USA

SOURCE: Analytical Biochemistry (1985), 144(1), 193-8

CODEN: ANBCA2; ISSN: 0003-2697

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The specific molar absorption coeffs. of both the anionic and protonated forms of Me purple were detd. The oxidn.-redn. midpoint potential of Me

purple over the pH range 3 to 12 was also detd. by polarog. methods, and the effect of pH on the visible absorption spectrum is reported. A detailed procedure for the synthesis of Me purple is given.

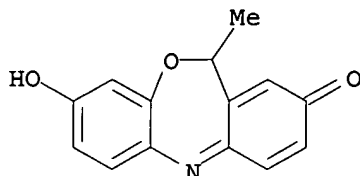
IT 50354-32-0P

RL: PREP (Preparation)

(prepn. of, as sensitive monitor of chloroplast photosystem I turnover)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 34 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:470780 CAPLUS

DOCUMENT NUMBER: 99:70780

TITLE: Tricyclic ethers and their use in pharmaceutical preparations

INVENTOR(S): Malen, Charles; Poignant, Jean Claude

PATENT ASSIGNEE(S): ADIR, Fr.

SOURCE: Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

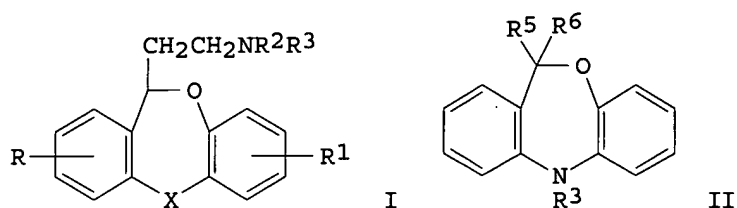
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 74304	A1	19830316	EP 1982-401567	19820824
EP 74304	B1	19850403		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2512024	A1	19830304	FR 1981-16347	19810827
FR 2512024	B1	19840106		
US 4496557	A	19850129	US 1982-408451	19820816
CA 1227481	A1	19870929	CA 1982-409886	19820820
AT 12497	E	19850415	AT 1982-401567	19820824
ES 515232	A1	19831101	ES 1982-515232	19820825
AU 8287730	A1	19830303	AU 1982-87730	19820826
JP 58074673	A2	19830506	JP 1982-148452	19820826
JP 61029950	B4	19860710		
ZA 8206252	A	19830727	ZA 1982-6252	19820826
HU 30018	O	19840228	HU 1982-2756	19820826
IL 66650	A1	19850830	IL 1982-66650	19820826
PRIORITY APPLN. INFO.:			FR 1981-16347	19810827
			EP 1982-401567	19820824

OTHER SOURCE(S): CASREACT 99:70780

GI



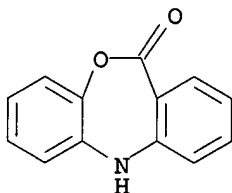
AB Psychotropic (no data) cyclic ethers I (X = bond, CH₂, NR₄; R, R₁ = H, halogen, alkyl, alkoxy, CF₃; R₂, R₃ = H, alkyl; NR₂R₃ = heterocyclic; R₄ = H, alkyl, acyl) were prepd. Thus the dibenzoxazepinone II (R₃ = H, R₅R₆ = O) was N-acetylated and treated with MeO₂CCH:PPh₃ to give II (R₃ = Ac, R₅R₆ = CHCO₂Me) which was hydrogenated to II (R₃ = Ac, R₅ = H, R₆ = CH₂CO₂Me). LiEt₃Al redn. of the ester group gave II (R₃ = Ac, R₅ = H, R₆ = CH₂CH₂OH) which was tosylated and treated with Me₂NH to give II (R₃ = Ac, R₅ = H, R₆ = CH₂CH₂NMe₂).

IT 15676-55-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)

RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 35 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:414345 CAPLUS

DOCUMENT NUMBER: 99:14345

TITLE: 12-Phenyl-5,12-dihydrodibenz[b,g]azocin-5-one,
C₂₁H₁₅NO

AUTHOR(S): Preut, Hans; Thimme, Michael; Eicher, Theophil;
Krueger, Carl

CORPORATE SOURCE: Abt. Chem., Univ. Dortmund, Dortmund, D-4600, Fed.
Rep. Ger.

SOURCE: Acta Crystallographica, Section C: Crystal Structure
Communications (1983), C39(6), 768-70
CODEN: ACSCEE; ISSN: 0108-2701

DOCUMENT TYPE: Journal

LANGUAGE: English

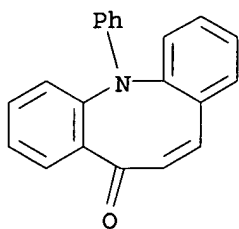
AB The title compd. is monoclinic, space group C₂/c, with a 15.724(12), b 9.222(6), c 21.504(16) Å, and β 95.91(8)°; Z = 8 for d = 1.274. Final R = 0.055 for 1170 data. The mol. structure has been elucidated at. coordinates are give.

IT 86156-66-3

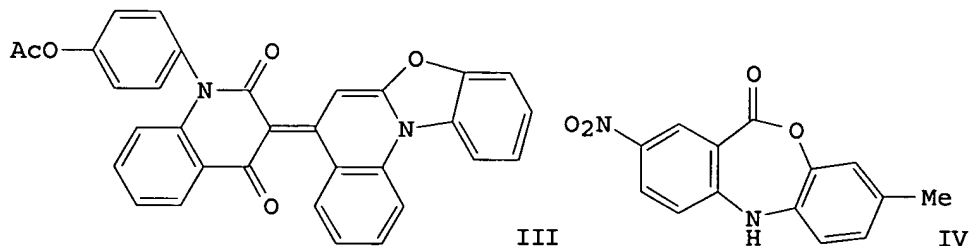
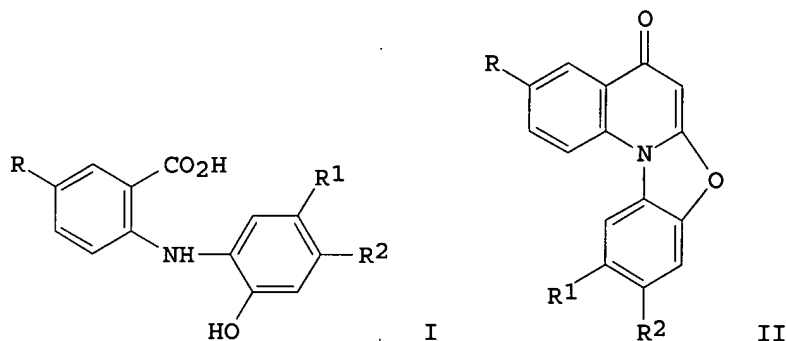
RL: PRP (Properties)
(structure of)

RN 86156-66-3 CAPLUS

CN Dibenz[b,g]azocin-5(12H)-one, 12-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 36 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:217741 CAPLUS
 DOCUMENT NUMBER: 96:217741
 TITLE: Further studies on the reaction of
 N-(2-hydroxyphenyl)anthranilic acids with acetic
 anhydride
 AUTHOR(S): Kim, Dong Han
 CORPORATE SOURCE: Res. Div., Wyeth Lab. Inc., Philadelphia, PA, 19101,
 USA
 SOURCE: Journal of Heterocyclic Chemistry (1981), 18(7),
 1389-92
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



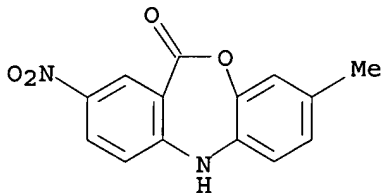
AB The anthranilic acids I (R = H, R1 = H, Cl, R2 = H; R = NO2, R1 = H, R2 =
 Me; R = NO2, R1 = Me, R2 = H) reacted with Ac2O to give the
 benzoxazoloquinolinones II and various minor products, e.g. the
 benzoxazoloquinolinone III and dibenzoxazepinone IV.

IT 79091-34-2P

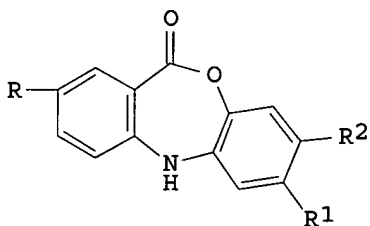
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and acetylation of)

09/ 076,575

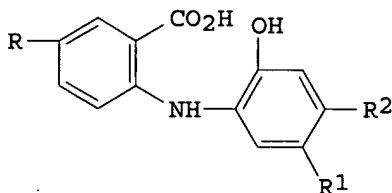
RN 79091-34-2 CAPLUS
CN Dibenz[b,e][1,4]oxazepin-11(5H)-one, 8-methyl-2-nitro- (9CI) (CA INDEX NAME)



L8 ANSWER 37 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:532837 CAPLUS
DOCUMENT NUMBER: 95:132837
TITLE: Cyanogen bromide as a reagent for lactone formation.
Preparation of dibenz[b,e][1,4]oxazepin-11(5H)-ones
AUTHOR(S): Kim, Dong Han
CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Philadelphia, PA, 19101, USA
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(4), 855-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

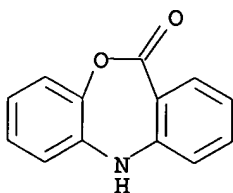
AB The title compds. I (R-R2 = H; R = R2 = H, R1 = Cl; R = NO2, R1 = Me, R2 = H, R1 = H, R2 = Me) were prepd. in 52.5-83% yields by cyclizing II with BrCN in the presence of Et3N.

IT 15676-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

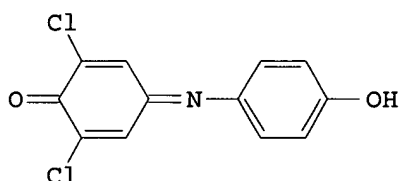
RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)

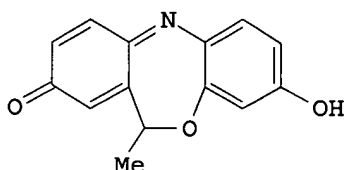


09/ 076,575

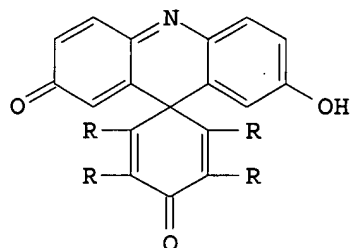
L8 ANSWER 38 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:490359 CAPLUS
DOCUMENT NUMBER: 85:90359
TITLE: Uncoupling of electron transport by anionic quinonoid
redox indicator dyes
AUTHOR(S): Hill, R.; Crofts, A. R.; Prince, R. C.; Evans, E.
Hilary; Good, N. E.; Walker, D. A.
CORPORATE SOURCE: Dep. Biochem., Univ. Cambridge, Cambridge, UK
SOURCE: New Phytologist (1976), 77(1), 1-9
CODEN: NEPHAV; ISSN: 0028-646X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II



III, R=H

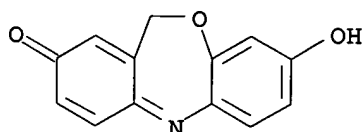
IV, R=Me

AB A considerable range of oxidn.-redn. dyes (i.e. I .fwdarw. II) was studied with ref. to reactions with illuminated chloroplast prepns. Exptl. methods included dye-mediated H⁺- and H-transfer across liposome membranes, comparison of increase in the uncoupling properties with increase of substituting halogen atoms and effect of halogen substitution on distribution of anion between water and octanol. In the absence of halogen substitution a relatively high concn. of a dye was needed for significant uncoupling. Introduction of the sulfonic group NaSO₃- abolished the uncoupling effect even in presence of halogen substitution.

IT 50354-31-9
RL: BIOL (Biological study)
(in photosynthetic electron transport uncoupling)

RN 50354-31-9 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 39 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:69236 CAPLUS
DOCUMENT NUMBER: 84:69236

TITLE: Basic derivatives of 6,7-dihydroindolo[1,7-ab][1]benzazepine and 6H-indolo[7,1-cd][1,5]benzoxazepine as potential antidepressant agents

AUTHOR(S): Toscano, Luciano; Grisanti, Giampiero; Fioriello, Giuseppe; Seghetti, Ennio; Bianchetti, Alberto; Bossoni, Giuseppe; Riva, Mario

CORPORATE SOURCE: Res. Lab., Pierrel S.p.A., Milan, Italy

SOURCE: Journal of Medicinal Chemistry (1976), 19(2), 208-13
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

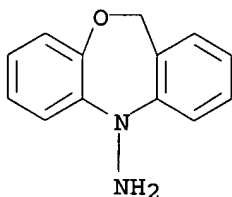
GI For diagram(s), see printed CA Issue.

AB Of 14 title compds. prepd. and screened for antidepressant activity in mice 1-[2-(benzylmethylamino)ethyl]-6,7-dihydroindolo[1,7-ab][1]benzazepine-HCl (I) [57529-83-6] and 1-[2-(methylamino)ethyl]-6,7-dihydroindolo[1,7-ab][1]benzazepine-HCl (II) [57529-85-8] had the best activity profiles. I was as active as imipramine [50-49-7] in antagonizing serotonin-induced contraction of the isolated guinea-pig ileum. With few exceptions, the compds. not substituted at position 2 antagonized reserpine-induced ptosis and hypothermia, showing negligible anticholinergic and antihistaminic properties.

IT **57529-61-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Fischer cyclization reaction with keto compds.)

RN 57529-61-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-5(11H)-amine, monohydrochloride (9CI) (CA INDEX NAME)



Ⓢ HCl

L8 ANSWER 40 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:455770 CAPLUS

DOCUMENT NUMBER: 83:55770

TITLE: Reduction of artificial electron acceptors at subzero temperatures by chloroplasts suspended in fluid media

AUTHOR(S): Cox, Raymond P.

CORPORATE SOURCE: Inst. Biol. Phys.-Chim., Paris, Fr.

SOURCE: Biochimica et Biophysica Acta (1975), 387(3), 588-98
CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Chloroplasts can be suspended in aq./org. mixts. which are liq. at sub-zero temps. with a good retention of the ability to reduce artificial electron acceptors. The redn. of ferricyanide and 2,6-dichlorophenolindophenol at temps. >0.degree. is approx. 50% inhibited by 50% (vol./vol.) ethylene glycol. Higher concns. cause more extensive inhibition. Different solvents were compared on the basis of their ability to cause a given depression of the freezing point of an aq. soln. Ethylene glycol caused less inhibition of electron transport than glycerol, which in its turn was

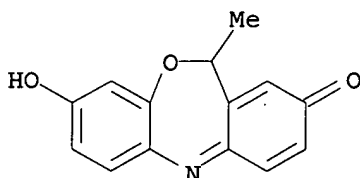
found to be superior to MeOH. The redn. of oxidized 2,3,5,6-tetramethyl-p-phenylenediamine could be measured at -25.degree. in 40% (vol./vol.) ethylene glycol. Using an acceptor with a high extinction coeff., methyl purple (a deriv. of 2,6-dichlorophenolindophenol) it was possible to obs. electron flow at temps. as low as -40.degree. in 50% (vol./vol.) ethylene glycol. From studies of the effects of the inhibitors 3(3,4-dichlorophenyl)-1,1-dimethylurea and 2,5-dibromo-3-methyl-6-isopropyl-p-benzoquinone it is suggested that electron flow from the donor side of photosystem II to the acceptor side of photosystem I can occur at temps. at least as low as -25.degree.. The ultimate electron donor is presumably water but it was not possible to demonstrate this directly.

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(photoredn. of, by chloroplast, org. solvent and temp. effects on)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 41 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:81187 CAPLUS

DOCUMENT NUMBER: 82:81187

TITLE: Effect of substituted dibenzoxazepines on levels of reduced glutathione and potassium ions in lenses of rabbits in vitro and of rats in vivo

AUTHOR(S): Wong, Keith K.; Wang, Geng Mei; Dreyfuss, Jacques; Schreiber, Eric C.

CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA

SOURCE: Journal of Pharmaceutical Sciences (1974), 63(6), 854-7

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Substituted dibenzoxazepines decreased the levels of K⁺ [7440-09-7] and reduced glutathione (GSH) [70-18-8] in isolated rabbit lenses, the effects of some of the compds. correlating with their tendency to bind to erythrocyte ghosts. The dietary administration of substituted dibenzoxazepines to rats also lowered GSH levels in lenses, the response being greatest in those animals that showed the most severe morphol. changes. Measurement of GSH and K⁺ levels in lenses may aid in preliminary detn. of the cataractogenicity of the dibenzoxazepines. 4-[3-(7-Chloro-5,11-dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]-1-piperazineethanol-HCl (I) [41296-98-4] caused the greatest decrease in GSH and K⁺ of isolated lenses.

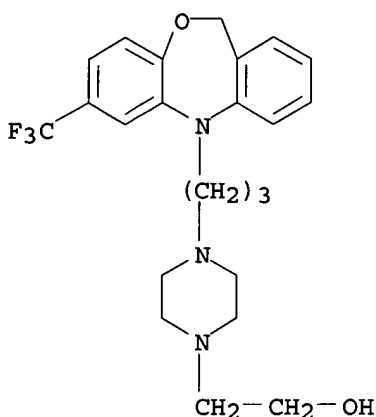
IT 27139-87-3

RL: PRP (Properties)

(potassium and reduced glutathione of eye in response to)

RN 27139-87-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 42 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:477985 CAPLUS
 DOCUMENT NUMBER: 81:77985
 TITLE: N-Oxides of 5-(aminoalkyl)-5,11-dihydrodibenzoxazepines and 5,11-dihydrodibenzthiazepines
 INVENTOR(S): Yale, Harry L.; Bernstein, Jack
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: U.S., 6 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3796725	A	19740312	US 1971-110327	19710127
PRIORITY APPLN. INFO.:			US 1969-655352	19690724
			US 1970-17966	19700309

AB The title compds., e.g. I (R = R1 = Me, HOCH2CH2; RR1 = (CH2)4, CH2CH2OCH2CH2, CH2CHMeCH2CH2; R2 = H, Me; n = 1,2,3; X = O, S) and II(R = H, F3C; X = O, S) were prepd. by oxidn. of the corresponding amines. Thus, 5,11-dihydrobenz[b,e] [1,4] oxazepine was treated with Br(CH2)3Cl followed by (HOCH2CH2)2NH to give 5,11-dihydro-5-[3-[bis(2-hydroxyethyl)amino]propyl]dibenz[b,e] [1,4]oxazepine which was oxidized with 30% H2O2 to give I [R = R1 = HOCH2CH2, R2 = H, X = O, n = 3]. At 5-50 mg/kg I and II were antiarrhythmic. At 0.001-0.1% I and II eliminated *S. aureus* and *T. mentagrophytes*.

IT **27488-77-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

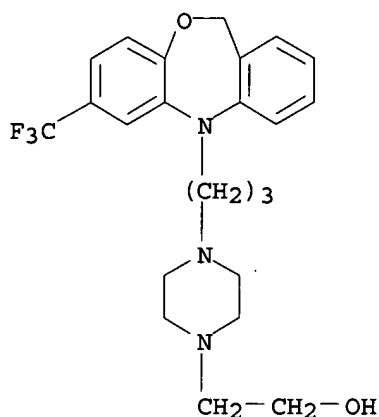
RN 27488-77-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e] [1,4]oxazepin-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 27139-87-3

CMF C23 H28 F3 N3 O2

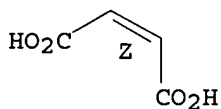


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 43 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:121023 CAPLUS
 DOCUMENT NUMBER: 80:121023
 TITLE: N-[3-(5,11-Dihydrodibenzo[b,e][1,4]thia- and
 -oxazepin-5-yl)phthalamides
 INVENTOR(S): Yale, Harry L.; Bernstein, Jack
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Brit., 2 pp.
 CODEN: BRXXAA
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1343923	A	19740116	GB 1973-33769	19710223

PRIORITY APPLN. INFO.: GB 1973-33769 19710223

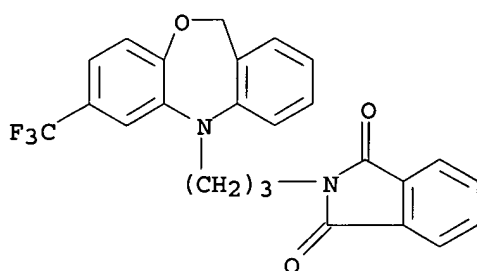
GI For diagram(s), see printed CA Issue.

AB Title compds. (I; X = S, R = H; X = O, R = CF₃) were prepd. by refluxing in DMF K phthalimide and the corresponding 3-(chloropropyl)dibenzothiazepine or -oxazepine obtained by treating DMF solns. of the appropriate dibenzothiazepine or -oxaze-pine with NaOH and Cl(CH₂)₃Br.

IT **28737-95-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 44 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:83090 CAPLUS
 DOCUMENT NUMBER: 80:83090
 TITLE: 1-[3-(5,11-Dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]phenylpiperidinols
 INVENTOR(S): Yale, Harry L.
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: U.S., 4 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3780044	A	19731218	US 1972-291422	19720922

PRIORITY APPLN. INFO.: US 1972-291422 19720922

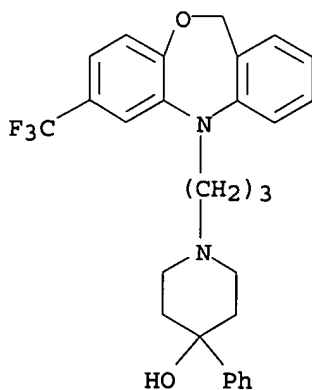
GI For diagram(s), see printed CA Issue.

AB Antibacterial tuberculostatic dibenzoxazepines I (R = CF₃, R₁ = H; R = H, R₁ = Cl) were prepd. Thus, 11.2 g (5,11-dihydro-7-trifluoromethyldibenz[b,e][1,4]oxazepin-5-yl)propyl chloride was treated with 7 g 4-phenyl-4-piperidinol to give .apprx.4 g I (R = CF₃, R₁ = H).

IT **51856-01-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 51856-01-0 CAPLUS

CN 4-Piperidinol, 4-phenyl-1-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



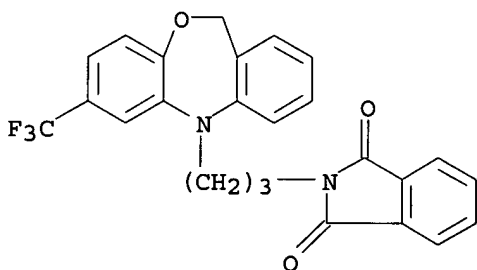
09/ 076,575

ACCESSION NUMBER: 1974:83089 CAPLUS
DOCUMENT NUMBER: 80:83089
TITLE: Dibenzoxazepines and dibenzothiazepines
INVENTOR(S): Yale, Harry L.; Bernstein, Jack
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: U.S., 10 pp. Continuation-in-part of U. S. 3,657,275
(CA 77;34606g).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3780059	A	19731218	US 1971-172569	19710817
US 3657275	A	19720418	US 1970-17972	19700309

PRIORITY APPLN. INFO.:
US 1966-551560 19660520
US 1970-17972 19700309

GI For diagram(s), see printed CA Issue.
AB The title compds. and analogs I (n = 0, 1, m = 2, 3, R2 = guanidino, methylguanidino, phthalimido) and some [1,5]oxazocine and [1,5]-thiazocine analogs, useful as tranquilizers and sedatives were prepd. Thus, 5,11-dihydrodibenzo[b,e][1,4]thiazepine in DMF contg. NaH is treated with Br(CH₂)₃Cl to give I [n = 0, m = 3, R = R1 = H, Z = S, R2 = Cl]. Reaction of this with K phthalimide in DMF yields I (R2 = phthalimido). An addnl. 49 examples are described.
IT 28737-95-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28737-95-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 46 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:488982 CAPLUS
DOCUMENT NUMBER: 79:88982
TITLE: Old and some possible new redox indicators
AUTHOR(S): Hill, Robert
CORPORATE SOURCE: Dep. Biochem., Univ. Cambridge, Cambridge, UK
SOURCE: Journal of Bioenergetics (1973), 4(1-2), 229-37
CODEN: JBEGAA; ISSN: 0449-5705
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Some properties of redox indicators as developed from a study of the Liebermann nitroso reaction for phenols are described. Consideration of the effects of completing a hetero 6-membered ring, as in the azine, thiazine, and oxazine classes, is suggested for the development of redox indicators that would perhaps be more desirable than the indophenols.

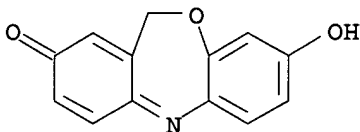
09/ 076,575

IT 50354-31-9

RL: PRP (Properties)
(NMR of)

RN 50354-31-9 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 47 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1973:442582 CAPLUS

DOCUMENT NUMBER: 79:42582

TITLE: Dibenzoxazepines and dibenzothiazepines

INVENTOR(S): Yale, Harry L.; Bernstein, Jack

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: U.S., 12 pp. Division of U.S. 3,657,275 (CA 77;34606g).

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3723463	A	19730327	US 1971-172570	19710817
US 3657275	A	19720418	US 1970-17972	19700309
PRIORITY APPLN. INFO.:			US 1966-551560	19660520
			US 1970-17972	19700309

GI For diagram(s), see printed CA Issue.

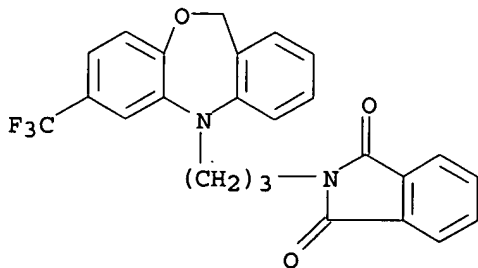
AB The title compds. and higher ring analogs (I, R = H, Me, Pr; R1 = H, Me, Et; R2 = Br, Cl, CF3; Q = O, S; k = 2, 3; l, m, n = 0, 1, 2; X = HCl, 0.5H2SO4) were prepd. Thus, 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propionitrile was hydrolyzed with H2SO4 and the resulting amide reduced with LiAlH4 to give 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propylamine, which was treated with 2-methyl-2-thiopseudourea sulfate to give I (R = R1 = R2 = H, k = 3, l = m = 0, n = 1, Q = O, X = 0.5H2SO4). At 20-200 mg/day I were sedatives and hypotensive agents.

IT 28737-95-3P

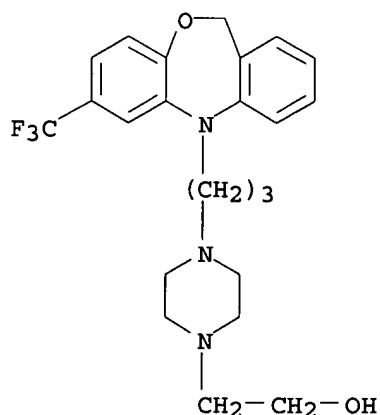
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 48 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1973:413382 CAPLUS
 DOCUMENT NUMBER: 79:13382
 TITLE: Distribution of dibenzoxazepines bearing the
 carboxamide or other side chains in ocular and other
 tissues of dogs
 AUTHOR(S): Dreyfuss, Jacques; Shaw, James M.; Ross, John J., Jr.;
 Wang, Geng Mei; Wong, Keith K.; Schreiber, Eric C.
 CORPORATE SOURCE: Dep. Drug. Metab., Squibb Inst. Med. Res., New
 Brunswick, NJ, USA
 SOURCE: Journal of Pharmaceutical Sciences (1973), 62(4),
 606-9
 CODEN: JPMSAE; ISSN: 0022-3549
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB After oral or i.v. administration of labeled [4-[3-(7-chloro-5,11-
 dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]-1-piperazinyl]ethanol-HCl [40671-55-4], its trifluoromethyl analog, or 5-[2-(dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine maleate [19625-12-8] to dogs, greater concns. of radioactivity were found in the organs, esp. the brain, liver, lungs, and melanin-contg. portions of the eye, than in the blood. The same compds. were bound to various extents to melanin granules of beef eyeball in vitro. However, 7-chloro-5,11-dihydrodibenz[b,e][1,4]oxazepine-5-carboxamide (I) [16802-77-0] was neither localized in any tissues of the dog, relative to concns. in the blood, nor bound to melanin granules in vitro. Thus, the presence of the carboxamide side chain alters I affinity for tissues, esp. those contg. melanin.
 IT 41241-23-0
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (metab. of, by eye and other tissues)
 RN 41241-23-0 CAPLUS
 CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(1H)-yl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



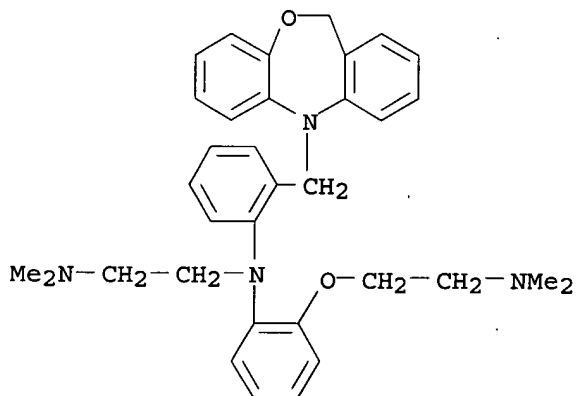
● HCl

09/ 076,575

DOCUMENT NUMBER: 78:111389
TITLE: 5,11-Dihydrodibenzoxazepines derivatives
INVENTOR(S): Yale, Harry L.; Sowinski, Frances A.
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3714192	A	19730130	US 1970-76285	19700928
PRIORITY APPLN. INFO.:			US 1965-438406	19650309
			US 1967-668632	19670918

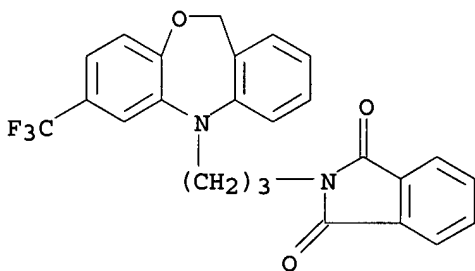
GI For diagram(s), see printed CA Issue.
AB (Anilinobenzyl)dihydrodibenzoxazepine I (R = Me₂NCH₂CH₂) and its salts, which possess hypotensive, antibacterial, antifungal, and tumor inhibition activity, was prepd. by reaction of dihydrodibenzoxazepine II (R = Me₂NCH₂CH₂) with excess NaH and 2 equivs. Me₂NCH₂CH₂Cl in refluxing THF.
IT **16882-84-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16882-84-1 CAPLUS
CN 1,2-Ethanediamine, N-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)phenyl]-N-[2-[2-(dimethylamino)ethoxy]phenyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 50 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:97735 CAPLUS
DOCUMENT NUMBER: 78:97735
TITLE: Dibenzoxazepines and dibenzothiazepines
INVENTOR(S): Yale, Harry L.; Bernstein, Jack
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: Fr. Demande, 26 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2128097	A5	19721020	FR 1971-7494	19710304

FR 2128097 B1 19740802
 PRIORITY APPLN. INFO.: FR 1971-7494 19710304
 GI For diagram(s), see printed CA Issue.
 AB Approx. 25 guanidines [I, R = (CH₂)_nNR₁C(:NH)NHR₂ n = 0-4, R₁ = H, Me, Et, etc.; R₂ = H, Me; X = O, S; x, y, z = 0-2; R₃ = Cl, Br, H, CF₃] were prepd. from I[R = (CH₂)_nNHR₁] and RNHC(:NH)SR₅.H₂SO₄ (R₅ = H, Me). Some of the guanidines prepd. were 1-[3-(2-chloro-11,12-dihydro-6H-dibenzo[b,f][1,4]thiazocin-12-yl)-propyl]-3-methylguanidine [I, R = (CH₂)₃NHC(:NH)NHMe, X = S; x = z = 1, y = 0, R₃ = Cl], 1-[3-(5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]-oxazepin-5-yl)propyl]guanidine [I, R = (CH₂)₃NH(:NH)NH₂, X = O, x = y = 0, z = 1, R₃ = CF₃], 1-[2-(10,12-dihydro-5H-dibenz-[c,f][1,5]oxazocin-5-yl)ethyl]-1-methylguanidine [R = CH₂CH₂NMeC(:NH)NH₂, X = O, x = 0, y = z = 1, R₃ = H], 1-benzyl-3-[3-(5,10,12,13-tetrahydrodibenzo[c,f][1,5]thiazonin-5-yl)-propyl]guanidine [I, R = (CH₂)₃N(CH₂Ph)C(:NH)NH₂, X = S, x = 0, y = 1, z = 2, R = H].
 IT **28737-95-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 28737-95-3 CAPLUS
 CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 51 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:564782 CAPLUS
 DOCUMENT NUMBER: 77:164782
 TITLE: Guanidine derivatives of condensed heterocycles
 INVENTOR(S): Yale, Harry Louis; Bernstein, Jack
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Ger. Offen., 31 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

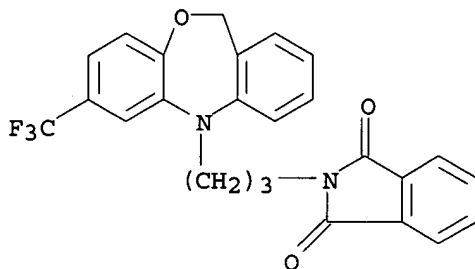
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2107669	A	19720831	DE 1971-2107669	19710217

PRIORITY APPLN. INFO.: DE 1971-2107669 19710217
 GI For diagram(s), see printed CA Issue.
 AB Guanidine derivs. I (n = 2,3; x and y = 0,1; X = O, S; R = H, Me, Pr, CH₂Ph; and which may be substituted in one of the benzene rings by Cl, Br, or CF₃) were prepd. Thus, 5,11-dihydrodibenzo [b,e] [1,4]-oxazepin-5-propionitrile was reduced to the propylamine with LiAlH₄ and treated with MeSC(:NH)NH₂ to give I (n = 3, x = 0, y = 1, X = O, R = H).
 IT **28737-95-3**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (guanidine from)

09/ 076,575

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 52 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:539590 CAPLUS

DOCUMENT NUMBER: 77:139590

TITLE: Formylation of amines

INVENTOR(S): Yale, Harry Louis

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2209853	A	19720907	DE 1972-2209853	19720301
CA 948195	A1	19740528	CA 1972-135459	19720224
GB 1388917	A	19750326	GB 1972-9109	19720228
CH 540228	A	19730928	CH 1972-2904	19720229
FR 2127896	A5	19721013	FR 1972-7062	19720301

PRIORITY APPLN. INFO.: US 1971-119910 19710301

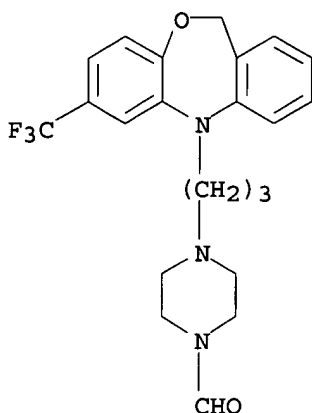
AB Primary and secondary amines, e.g. anilines, piperidines, or piperazines, were formylated in quant. yield by reaction with HCO₂Ph (I) or HCO₂C₆H₄Me-o. Thus, reaction of I with o-BrC₆H₄NH₂ in PhOH at <20-5.degree. gave quant. o-BrC₆H₄NHCHO.

IT **38272-89-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38272-89-8 CAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 53 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:501692 CAPLUS
 DOCUMENT NUMBER: 77:101692
 TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenzoxazepine and
 5,11-dihydrodibenzothiazepine N-oxides with
 antibacterial and antiarrhythmic activity
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Fr. Demande, 12 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2085631	B1	19730608	FR 1970-12720	19700408

PRIORITY APPLN. INFO.: FR 1970-12720 19700408

GI For diagram(s), see printed CA Issue.

AB The dibenzoxazepines (I, R = H, CF₃; R₁ = N(O)Me₂, 1-methyl-3-piperidyl, Cl, 4-(2-hydroxyethyl)-1-piperazinyl; n = 1-3) were prepd. Thus I (R = H, R₁ = 1-methyl-3-piperidyl, n = 1) was obtained by treating 5,11-dihydrodibenzo[b,e] [1,4]oxazepine with (1-methyl-3-piperidyl)-methyl chloride in the presence of NaH. I (R = H, R₁ = N(O)Me₂, n = 2) was obtained by H₂O₂ oxidn. of I (R = H, R₁ = NMe₂, n = 2).

IT **27488-77-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

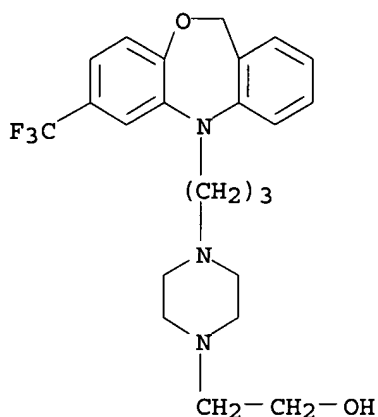
RN 27488-77-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e] [1,4]oxazepin-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 27139-87-3

CMF C23 H28 F3 N3 O2

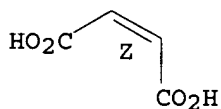


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 54 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:488558 CAPLUS
 DOCUMENT NUMBER: 77:88558
 TITLE: 5,11-Dihydrodibenz[b,e][1,4]oxazepine- and
 -thiazepine-5-alkanoic acid derivatives
 INVENTOR(S): Yale, Harry Louis; Petigara, Ramesh Balubhai
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Ger. Offen., 71 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2158327	A	19720531	DE 1971-2158327	19711124
US 3714201	A	19730130	US 1970-92498	19701124
US 3766210	A	19731016	US 1970-92329	19701124
CA 981666	A1	19760113	CA 1971-127969	19711118
CH 546786	A	19740315	CH 1971-16997	19711123
CH 551442	A	19740715	CH 1973-807	19711123
GB 1382586	A	19750205	GB 1971-54465	19711123
FR 2115385	A5	19720707	FR 1971-42131	19711124
FR 2115385	B1	19751010		
HU 163353	P	19730728	HU 1971-SU690	19711124
PRIORITY APPLN. INFO.:			US 1970-92329	19701124
			US 1970-92498	19701124

AB Nine title compds. (I, X = O, S, SO, SO₂, n = 1-3, m = 0, 1, R = R₁ = Et,
 R = Et₂N(CH₂)₂, R₁ = H, NRR₁ = 4-methyl-1-piperazinyl,

09/ 076,575

4-(2-hydroxyethyl)-1-piperazinyl, morpholino; R2 = H, CF3, R3 = F3C, Cl),
hypotensives, were prepd. by esterification of the acid or the acid
chloride (II) and (in the case of X = S) intermediate S-oxidn. Thus, II
(n = 2, R2 = H, R3 = F3C) (obtained by reaction of the N-unsubstituted
compd. with H2C:CHCN, conversion into the Me ester, and chlorination with
PCl5) was added to Et2N(CH2)2OH in CHCl3 and refluxed 3 hr to give, after
addn. of oxalic acid, I oxalate (n = 2, m = 1, R = R1 = Et, R2 = H, R3 =
F3C).

IT 37945-20-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

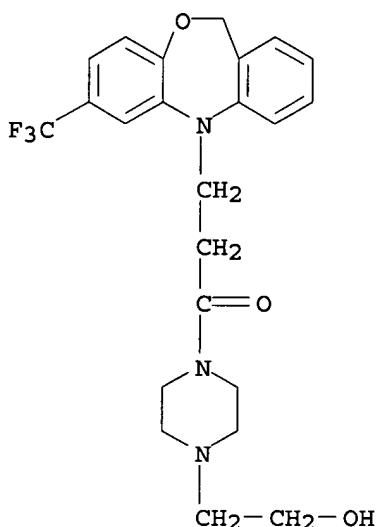
RN 37945-20-3 CAPLUS

CN 1-Piperazineethanol, 4-[1-oxo-3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazep
in-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX
NAME)

CM 1

CRN 47703-45-7

CMF C23 H26 F3 N3 O3

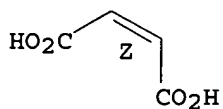


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 55 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:434606 CAPLUS

DOCUMENT NUMBER: 77:34606

TITLE: Dibenzoxazepines and dibenzothiazepines

INVENTOR(S): Yale, Harry L.; Bernstein, Jack

09/ 076,575

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3657275	A	19720418	US 1970-17972	19700309
US 3723463	A	19730327	US 1971-172570	19710817
US 3780059	A	19731218	US 1971-172569	19710817
PRIORITY APPLN. INFO.:			US 1966-551560	19660520
			US 1970-17972	19700309

GI For diagram(s), see printed CA Issue.

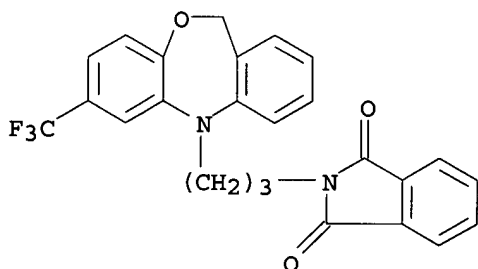
AB The title compds. and higher ring analogs (I, = H, Pr; R1 = H, Me, Et; R2 = Br, Cl, CF3; Q = O, S; X = HCl, 1/2H2SO4; k = 2,3; l, m, n = 0.1) were prepd. Thus, 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propionitrile was hydrolyzed by H2SO4 and the resulting amide was reduced by LiAlH4 to 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propylamine, which, on treatment with 2-methyl-2-thiopseudourea sulfate, gave I (R = R1 = R2 = H, k = 3, l = m = 0, n = 1, Q = O, X = 1/2H2SO4). Nine other I were prepd. by known reactions.

IT 28737-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 56 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:428740 CAPLUS

DOCUMENT NUMBER: 77:28740

TITLE: Species differences in the metabolism of a tricyclic psychotropic agent, SQ 11,290-14C

AUTHOR(S): Dreyfuss, Jacques; Shekosky, James M.; Ross, John J., Jr.; Schreiber, Eric C.

CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA

SOURCE: Toxicology and Applied Pharmacology (1972), 22(1), 105-14

CODEN: TXAPA9; ISSN: 0041-008X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Following oral administration of 14C-labeled SQ 11,290

(4-[3-(7-chloro-5,11-dihydrodibenz[b,e][1,4]-oxazepin-5-yl)propyl]-1-piperazineethanol dihydrochloride)(I) [28318-18-5] to mice,

rats, guinea pigs, hamsters, rabbits, monkeys, and man less than 1% of the

radioactivity excreted by any species was unchanged I. Radioactivity was excreted primarily in the feces of all species except hamsters and man in which urinary excretion was predominate.

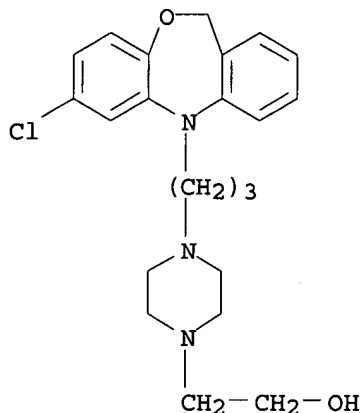
IT 28318-18-5

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(metabolism of, species in relation to)

RN 28318-18-5 CAPLUS

CN 1-Piperazineethanol, 4-[3-(7-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)propyl]- (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 57 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:127032 CAPLUS

DOCUMENT NUMBER: 76:127032

TITLE: 5,11-Dihydrodibenz[b,e][1,4]oxazepine derivatives

INVENTOR(S): Yale, Harry L.

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: U.S., 4 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

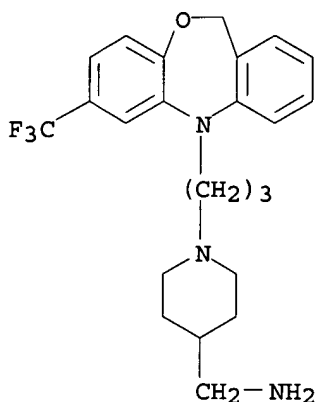
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3631052	A	19711228	US 1970-10982	19700212
PRIORITY APPLN. INFO.:			US 1970-10982	19700212

GI For diagram(s), see printed CA Issue.

AB Antianxiety title compds. (I) were prep'd. NaOMe-EtOH was added dropwise to a mixt. of 5-trifluoromethyl-2-hydroxyformanilide and 4-chloro-2-bromobenzyl bromide in EtOH to give 2-(4-chloro-2-bromobenzoyloxy)-5-trifluoromethylformanilide (II). A mixt. of II, DMF, K₂CO₃, and copper bronze was heated 3.5 hr. to give 3-chloro-5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepine - 5 - carboxaldehyde, from which the formyl group was removed by reflux with 25% aq. NaOH to give 3-chloro-5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepine (III). A mixt. of III, 2-[2-[2-(dimethylamino)ethyl]piperidino]ethyl chloride-HBr, AcEt, and NaOH was refluxed 3 hr to give I (R = Cl, R₁ = 2-[2-(dimethylamino)-ethyl]piperidino, n = 2). Similarly prep'd. was I [R = H, R₁ = 4-(2-tetrahydropyranyloxy), n = 4] which, treated with conc. HCl gave I (R = H, R₁ = OH, n = 4), which, treated with SOCl₂ gave I (R = H, R₁ = Cl, n = 4), which, refluxed 18 hr with 3-(2-aminobutyl)piperidine, NaI, and AcEt gave I [R = H, R₁ = 3-(2-aminobutyl)piperidine, n = 4]. I

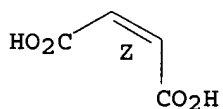
09/ 076,575

(R = H, R1 = 4-(aminomethyl)piperidino, n = 3) was similarly prepd.
IT 28713-84-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28713-84-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[3-[5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5-yl]propyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)
CM 1
CRN 28770-42-5
CMF C23 H28 F3 N3 O



CM 2
CRN 110-16-7
CMF C4 H4 O4

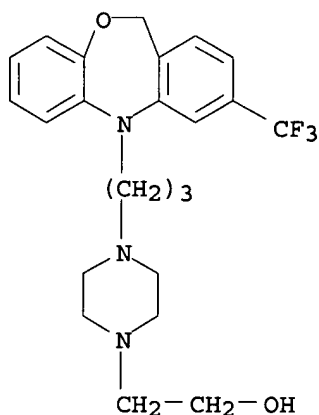
Double bond geometry as shown.



L8 ANSWER 58 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:14605 CAPLUS
DOCUMENT NUMBER: 76:14605
TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenz[b,e][1,4]oxazepine and -thiazepine N-oxides and their acid addition salts
INVENTOR(S): Yale, Harry L.; Bernstein, Jack
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2016356 A 19711028 DE 1970-2016356 19700406
 PRIORITY APPLN. INFO.: DE 1970-2016356 19700406
 GI For diagram(s), see printed CA Issue.
 AB I and their salts were prepd. Thus, 5-[2-dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine was refluxed 3.5 hr with 30% H₂O₂ in 95% EtOH to give I [R = (CH₂)₂N(O)Me₂, R₁ = H], which was treated with maleic acid in Me₂CO to give the corresponding maleate. Similarly prepd. were several other I, including I [R = 3-[4-(2-hydroxyethyl)-1-piperazinyl]propyl, R₁ = CF₃], its N-oxide, and N-oxide dimaleate.
 IT 35019-32-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidn. and esterification of)
 RN 35019-32-0 CAPLUS
 CN 1-Piperazineethanol, 4-[3-[3-(trifluoromethyl)dibenz[b,e][1,4]-oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 59 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1971:517073 CAPLUS
 DOCUMENT NUMBER: 75:117073
 TITLE: Metabolism in dogs of the chloro- and trifluoromethyl analogs of a piperazine-substituted dihydrobenzoxazepine
 AUTHOR(S): Dreyfuss, J.; Ross, J. J., Jr.; Shekosky, J. M.; Schreiber, E. C.
 CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA
 SOURCE: Xenobiotica (1971), 1(1), 29-41
 CODEN: XENOBH; ISSN: 0049-8254
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB After administration of [4-[3-[7-(chloro or trifluoromethyl)-5,11-dihydrobenz[b,e][1,4]oxazepin-5-yl]-1-piperazine-[14C₂]-ethanol-2HCl) (SQ 11290-14C, or SQ 11005-14C, resp.) (I and II), the compds. were similarly excreted in urine and feces or bile. Highest concns. of radioactivity were found in the lungs, liver, and the ocular layers consisting of the combined retina, choroid, and sclera. Similar blood levels were found in dogs that had received equiv. doses. Unchanged SQ 11005 (5%) or SQ 11290 (8%) was present in the feces, the main excretory route. The major metabolite, a monooxygenated deriv. of the tricyclic ring system, was present in the feces and as glucuronide conjugate in the bile. The glucuronide conjugates of both parent compds. were excreted in the bile. Thus, chloro or trifluoromethyl substitution in the 7-position of the dihydrobenzoxazepine ring system did not alter the biol. disposition of

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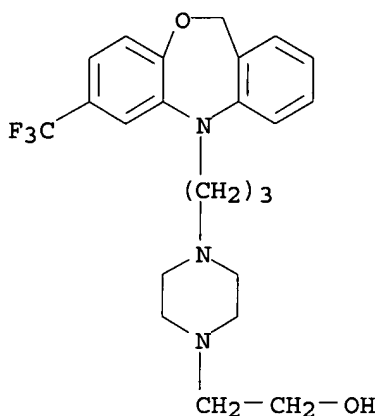
these mols. in the dog.

IT 27139-88-4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (metabolism of)

RN 27139-88-4 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

L8 ANSWER 60 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:445482 CAPLUS

DOCUMENT NUMBER: 73:45482

TITLE: Novel polycyclic heterocycles. Derivatives of 5,11-dihydrodibenz[b,e][1,4]oxazepine and 5,11-dihydrodibenzo[b,e][1,4]thiazepine

AUTHOR(S): Yale, Harry L.; Beer, Bernard; Pluscec, Jelka; Spitzmiller, Erwin R.

CORPORATE SOURCE: Squibb Inst. for Med. Res., New Brunswick, NJ, USA

SOURCE: Journal of Medicinal Chemistry (1970), 13(4), 713-22
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

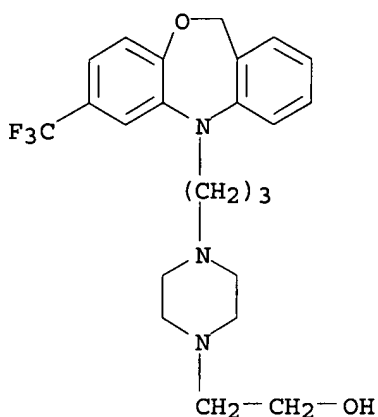
AB 5-Substituted 5,11-dihydrodibenz[b,c][1,4]oxazepines (e.g. I) and 5,11-dihydrodibenzo[b,e][1,4]thiazepines were prepd. When the 5-substituent is 3-[1-(2-hydroxyethyl)-4-piperazinyl]propyl and a substituent like Cl or CF₃ is in the 3 or 7 position, the compounds show antianxiety effects at lower doses and central nervous system depressant activity at higher doses. When the 5 substituent is a simple dialkylaminoalkyl group, the compounds are not depressants at either dose level, but instead are stimulants, but only at the higher dose range.

IT 27139-88-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacol. activity of)

RN 27139-88-4 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, dihydrochloride (8CI) (CA INDEX NAME)



● 2 HCl

L8 ANSWER 61 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:111528 CAPLUS
 DOCUMENT NUMBER: 72:111528
 TITLE: 5-Piperazinopropyl-5,11-dihydrodibenz[b,e][1,4]oxazepines as ataractics and tranquilizers
 INVENTOR(S): Yale, Harry L.
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Ger. Offen., 25 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1944335	A	19700319	DE 1970-1944335	19700318
NL 6913679	A	19700313	NL 1969-13679	19690909
BE 738737	A	19700311	BE 1969-738737	19690911
FR 2017843	A1	19700522	FR 1969-30984	19690911
			US 1968-759244	19680911

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. via II [R2 = (CH2)3Cl] by reaction with 2-(1-piperazinyl)ethanol (III). Thus, 400 g 3,4-O2NC1C6H3CF3 was added to 300 g KOH in 2 l. Me OH and stirred 1 hr at room temp. to give 371 g 3,4-O2N(MeO)C6H3CF3, m. 46.5-48.0.degree., which (513 g) was hydrolyzed in 693 g pyridine-HCl at 155-60.degree. to give 3,4-O2N(HO)C6H3CF3 (IV), b13 96-100.degree.. IV (66 g) was hydrogenated over Pd-C and 94 ml 98-100% HCO2H added to give 55.3 g 4,3-HO(CHONH)C6H3CF3 (V), m. 172-3.degree.. NaOMe (69.8 g) in 750 ml EtOH was added to 265 g V, 324 g o-BrC6H4CH2Br, and 2600 ml EtOH to give 347 g o-BrC6H4CH2OC6H3(NhCHO)CF3-2,4 (VI), m. 152-5.degree.. Similarly prepd. was 383 g 2,4-BrClC6H3CH2OC6H3(NHCHO)CF3-2,4. VI 5.6, K2CO3 9.5 and Cu powder 0.4 g and 100 ml Dow-therm was heated at 160-5.degree. to give 3.24 g II (R = Me, R1 = H, R2 = CHO), m. 130-2.degree., which was hydrolyzed by refluxing with 1560 ml 95% EtOH and 312 ml 25% NaOH to give 2.85 g II (R = CF3, R1 = R2 = H) (IIa), m. 118-20.degree.. Similarly prepd. was II (R = CF3, R1 = Cl, R2 = H), m. 135-7.degree.. IIa 62.5, Cl(CH2)3Br 150, and NaOH 75 g with 625 ml EtOME was re-fluxed 18 hr to give II [R = CF3, R1 = H, R2 = (CH2)3Cl] (IIb), m. 73-6.degree.. Similarly prepd. were the following II

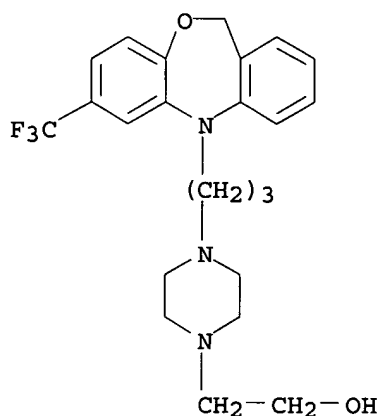
[R2 = (CH2)3-Cl] (R, R1, and m.p. given): Cl, H, -; H, Cl, 70-3.degree.; CF3, Cl, -. IIb 50, III 34, and NaI 19 g, with 300 ml EtCOMe was refluxed 18 hr to give I (R = CF3, R1 = R2 = H) (Ia) b0.5 240.degree.; dihydrochloride m. 197-200.degree.; dimaleate m. 158-61.degree. (decompn.); dicitrate m. 110-14.degree. (decompn.); dipamoinate m. 162-4.degree.. Similarly prepd. were I (R2 = H) (R, R1, m.p., and m.p. salts given): Cl, H, (Ib) 91-3.degree., dihydrochloride m. 223-4.degree., dimaleate m. 171-3.degree.; H, Cl, -, dihydrochloride m. 229-32.degree., dimaleate m. 168-71.degree.; CF3, Cl, b0.cntdot.1 260.degree., -. n-C6H13COCl (4.5 g) in 50 ml C6H6 and 8.0 g Ib in 120 ml C6H6 was heated 3 hr at 75.degree. to give I (R = Cl, R1 = H, R2 = COC6H13-n); dimaleate m. 171-2. Similarly prepd. were I (R = Cl, R1 = H) (R2 and m.p. dimaleate given): COC9H19-n, 171-2.degree.; COC11H23, 170-1.degree.. I (R = CF3, R1 = H, R2 = COC9H19-n) was prepd. from Ia, SOCl2, and NaO2CC9H19-n. IIb 14.0, piperazine 7.75, and NaI 6.76 g, with 120 ml EtCOMe was heated 19 hr to give II [R = CF3, R1 = H, R2 = 3-(1-piperazinyl)propyl] (IIc); dimaleate m. 152-5.degree.. IIc (3.91 g) in 20 ml C6H6, 1.71 g Ba(OH)2, 25 mg Cu powder, 50 mg KI, and 1.25 g ClCH2CH2OCH2CH2OH was refluxed 19 hr to give I (R = CF3, R1 = H, R2 = CH2CH2OH). I were used as ataractics and tranquilizers.

IT 27139-87-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 27139-87-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 62 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1969:68105 CAPLUS

DOCUMENT NUMBER: 70:68105

TITLE: 5,6,7,12-Tetrahydrodibenz[b,g]azocines and aminoalkylamine derivatives

AUTHOR(S): Fouche, Jean C. L.

CORPORATE SOURCE: Lab. Rech. Pharm., Soc. Usines Chim. RHONE-POULENC, Vitry-sur-Seine, Fr.

SOURCE: Industrie Chimique Belge (1967), 32(Spec. No.), 226-33
CODEN: ICBEAJ; ISSN: 0019-9052

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Redn. of 2-O2-NC6H4COCl with KBH4 and LiCl in tetrahydrofuran gave 88.5-95% 2-nitrobenzyl alc., m. 70-2.degree., which was oxidized with HNO3 initially at 10.degree. with cooling to give 81-9% 2-O2NC6H4CHO (I), m. 39-42.degree.. NaOEt condensation of I with 2-nitroacetophenone yielded

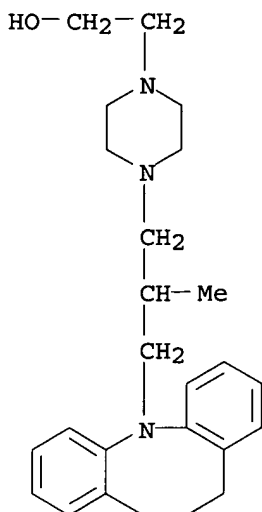
84-8% 2,2'-dinitrochalcone, m. 135-6.degree., which was reduced with KBH₄ to give 73-88.5% 1,3-bis(2-nitrophenyl)-3-propen-1-ol (II), m. 80-90.degree.. Hydrogenation of II over Pt gave 87-91% 1,3-bis-(2-aminophenyl)-1-propanol (III), m. 105-6.degree.; di-N-acetyl deriv. m. 228.degree.. 1,3-Bis(2-acetamidophenyl)-1-chloropropane (IV), m. 160-5.degree., was prepd. with SOCl₂. Hydrogenolysis of 169 g. IV over Pd gave 116.5 g. 1,3-bis(acetamidophenyl)propane (V), m. 262.degree.. V was also prepd. in 84% yield by carefully treating III with HClO₄ in AcOH followed by hydrogenation and acetylation and in 82-5.5% yield from III and HBr followed by hydrogenolysis and acetylation. Hydrolysis of V with HCl in (CH₂OH)₂ gave 100% 1,3-bis(2-aminophenyl)propane, m. 71-2.degree.; phosphate (VI) m. 226-30.degree.. Heating VI 90 min. at 290-300.degree. gave 42.5% VII m. 58-60.degree.; Ac deriv. m. 137-8.degree.. Various VIII were prepd. by treating VII with NaH and then chloroamines (method A), with phosgene and a hydroxyamine followed by pyrolysis of the product (method B), with BuLi and a chloroalkyl p-toluenesulfonate followed by treatment of the resulting chloride with an amine (method C), or with BuLi and an ethylene oxide followed by conversion of the resulting alc. through the methanesulfonate to an amine (method D). In one instance using method D, the chain was extended by conversion of the methanesulfonate to the nitrile, redn., and methylation. VIII prepd. were (X, NR'₂, method of synthesis, % yield, salt isolated, and m.p. salt listed): (CH₂)₂, NH₂, D, 54, HCl, 193-5.degree.; CH₂CHMe, NH₂, D, 43, HCl, 215.degree.; (CH₂)₃, NH₂, C, 45, neutral tartrate, 179-81.degree.; CH₂CHMe, NHMe, D, 75, HCl, 188-90.degree.; CH₂CHMeCH₂, NHMe, C, 31, HCl, 201-3.degree.; (CH₂)₂, NMe₂, A, 44 (54), HCl (fumarate), 242-4.degree. (176-8.degree.); CH₂CHMe, NMe₂, B (D), 25(41), fumarate, 176-8.degree.; (CH₂)₃, NMe₂, A, 49, oxalate, 148-50.degree.; CH₂CHMeCH₂, NMe₂, A (C), 76.5 (41), HCl, 230-2.degree.; (CH₂)₂, NEt₂, A, 12.5, HCl, 176-8.degree.; (CH₂)₃, NEt₂, C, 66, oxalate, 130-3.degree.; CH₂CHMeCH₂, NEt₂, C, 38.5, HCl, 180-3.degree.; CH₂CHMe, 1-pyrrolidinyl (Q), D, 31.5, HCl, 200.degree.; (CH₂)₃, Q, C, 43, neutral tartrate, 128-30.degree.; CH₂CHMeCH₂, Q, C, 52, HCl, 140.degree. then 210.degree.; (CH₂)₂, piperidino (T), A, 32.5, HCl, 208-12.degree.; CH₂CHMe, T, D, 36, HCl, 182-4.degree.; (CH₂)₃, T, C, 29, neutral tartrate, 140-2.degree.; CH₂CHMeCH₂, T, C, 33, HCl, 196-200.degree.; (CH₂)₂, 4-hydroxypiperidino (U), D, 76.5, neutral tartrate, 194-6.degree.; CH₂CHMe, U, D, 67, HCl, 170-5.degree.; (CH₂)₃, U, C, 61, oxalate, 120-30.degree.; (CH₂)₃, 4-methylpiperazinyl (V), A, 64, 2 HCl, 198-200.degree.; CH₂CHMeCH₂, V, C, 46.5, 2 HCl, 198-201.degree.; CH₂CHMe, 4-hydroxyethylpiperazino (W), D, 63.5, 2 HCl, 193-7.degree.; (CH₂)₃, W, C, 68, 2 HCl, 200-2.degree.; CH₂CHMeCH₂, W, C, 43.5, base, 78.5-81.5.degree.; (CH₂)₃, 4-hydroxyethoxyethyl-piperazino (Y), C, 71, 2 HCl, 164-6.degree.; CH₂CHMeCH₂, Y, C, 47.5, base, 78.5-80.5.degree.. Optically active starting materials gave the following VIII (XNR'₂ given): Me₂NCH₂CHMe, [.alpha.]_D²⁰ 44.7.degree. (EtOH); and Me₂NCH₂CHMeCH₂, [.alpha.]_D²⁰ 27.2 and -26.9.degree. (CHCl₃); and the following 12-substituted VII (12 substituent given): ClCO, (m. 154-6.degree.); Me₂NCH₂CHMeO₂C (m. 122-4.degree.); MeSO₃CHMeCH (b0.35 160.degree.); MeCH(CN)CH₂ (m. 96.degree.).

IT 1252-05-7P

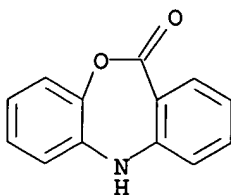
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 1252-05-7 CAPLUS

CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)

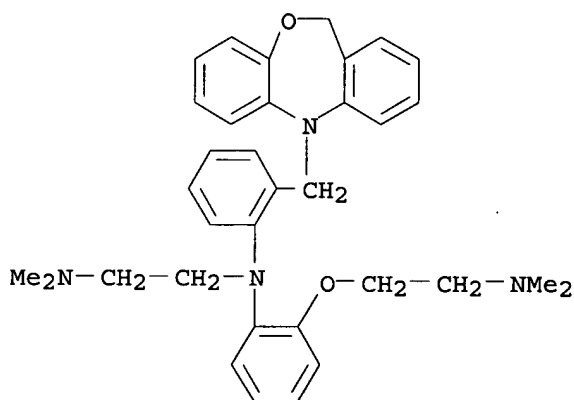


L8 ANSWER 63 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1968:436093 CAPLUS
 DOCUMENT NUMBER: 69:36093
 TITLE: The synthesis and pharmacological properties of
 dibenz[b,e][1,4]oxazepin-11(5H)-ones
 AUTHOR(S): Raines, Stephen; Kovacs, Csaba A.; Goldstein, Sidney;
 Palopoli, Frank P.
 CORPORATE SOURCE: Div. of Nat. Drug Co., Richardson-Merrell Inc.,
 Philadelphia, PA, USA
 SOURCE: Journal of Medicinal Chemistry (1968), 11(4), 895-6
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB N-(2-Hydroxyphenyl)anthranilic acids and dibenz[b,e][1,4]oxazepin-11(5H)-
 ones were synthesized and screened for antiinflammatory activity against
 carrageenin-induced abscesses in rats. When injected locally with
 carrageenin, N-(2-hydroxyphenyl)anthranilic acid,
 dibenz[b,e][1,4]oxazepin(5H)-one, 7-methyldibenz[b,e][1,4]oxazepin-11(5H)-
 one, and 6,7-dimethyldibenz[b,e][1,4]oxazepin-11(5H)-one (I) showed resp.
 minimal effective concns. (wt./vol.) in carrageenin of 2.7, 0.03, 0.1, and
 0.01%. Thus, all 4 compds. have significant local antiinflammatory
 activity.
 IT 15676-55-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and inflammation response to)
 RN 15676-55-8 CAPLUS
 CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



09/ 076,575

ACCESSION NUMBER: 1968:29690 CAPLUS
DOCUMENT NUMBER: 68:29690
TITLE: Novel polycyclic heterocycles. IV. Structure of the dimer of 5,11-dihydrodibenz[b,e][1,4]oxazepine. Infrared, proton magnetic resonance, and mass spectral studies
AUTHOR(S): Yale, Harry L.; Sowinski, Francis A.
CORPORATE SOURCE: Squibb Inst. for Med. Res., New Brunswick, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1967), 10(6), 1022-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB In the synthesis of 5-[2-(dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine (I), by the reaction of the anion of the heterocycle with 2-dimethylaminoethyl chloride, one of the by-products isolated from the residue from the distn. of I was identified as 5-[o-[o-[2-(dimethylamino)ethoxy] - N - [2 - (dimethylamino)ethyl]anilino]benzyl]5,11-dihydrodibenz[b,e][1,4]oxazepine (II). In the absence of 2-dimethylaminoethyl chloride, the anion of the heterocycle forms the parent dimer, 5-[o-(o-hydroxyanilino)benzyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine. The ir, P.M.R., and mass spectra of these and related compds. are discussed.
IT 16882-84-1P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 16882-84-1 CAPLUS
CN 1,2-Ethanediamine, N-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)phenyl]-N-[2-[2-(dimethylamino)ethoxy]phenyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 65 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1967:46414 CAPLUS
DOCUMENT NUMBER: 66:46414
TITLE: Synthesis and rearrangement of dibenz[b,e][1,4]oxazepin-6(11H)-one, depsazidone
AUTHOR(S): Gurien, Harvey; Malarek, David H.; Rachlin, Albert I.
CORPORATE SOURCE: Univ. of Pennsylvania, Philadelphia, PA, USA
SOURCE: Journal of Heterocyclic Chemistry (1966), 3(4), 527-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A mixt. of o-BrC6H4CO2H, HCONMe9, and anhyd. K2CO3 was refluxed (while HCONMe2, was distd. through a sidearm), cooled, CuO, CuCl, HCONMe2, and

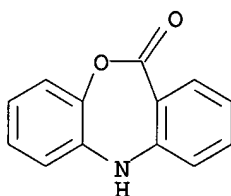
192 g. o-H₂NC₆H₄OH were added, the mixt. was refluxed with slow distn. of HCONMe₂, and worked up with acidification to yield N-(2-hydroxyphenyl)anthranilic acid (I). SOCl₂ in dry Et₂O was added to I and pyridine in 6.5l. dry Et₂O, the mixt. stirred 3 days, and extd. with N HCl to give a solid, which, dissolved in EtOAc, passed through a silica gel column to give dibenz[b,e][1,4]oxazepin-6(11H)one (depsazidone) (II). Dry HCONMe₂ was added to a warmed and stirred mixt. of II and a 53.5% mineral oil suspension of NaH and 90 ml. C₆H₆, the mixt. was refluxed 18 hrs., cooled, and treated successively with N HCl and N NaHCO₃, and filtered to yield 5,11-bis(2-hydroxyphenyl)-5,11-dihydrodibenzo[b,f][1,5]diazocine-6,12-dione (III), m. 267-70.degree. (BuOAc). The rearrangement of II into III was studied by N.M.R. Alk. sapon. of III yielded I. N-(2-methoxy)phenylanthranilic acid (IV) was obtained in a 79.1% yield from o-BrC₆H₄CO₂H and o-H₂NC₆H₄OMe, similarly to I. All attempts to demethylate IV failed.

IT 15676-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 66 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1965:410096 CAPLUS

DOCUMENT NUMBER: 63:10096

ORIGINAL REFERENCE NO.: 63:1775g-h,1776a-e

TITLE: 5-(Aminoalkyl)-5,10,11,12-tetrahydrodibenz [b,g]
azocine derivatives

PATENT ASSIGNEE(S): Rhone-Poulenc S.A.

SOURCE: 14 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 983859		19650217	GB	
FR 1403603			FR	
FR AD85301			FR	

PRIORITY APPLN. INFO.: FR 19600705

GI For diagram(s), see printed CA Issue.

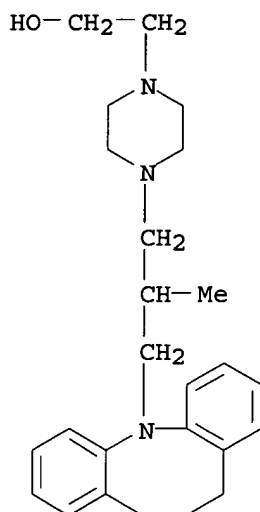
AB I were prepd. by two general methods. A mixt. of 6 g. 5,10,11,12-tetrahydrodibenz[b,g]azocine (II), prepd. by the method of Brit. 926,335 (CA 61, 1843g), and 1.03 g. sodamide in 50 cc. anhyd. xylene and 19.8 cc. of a xylene soln. of Me₂N(CH₂)₃Cl (176 g./l.) was stirred under reflux under a current of N for 7 hrs. when the evolution of NH₃ ceased to give 5.8 g. I [A = (CH₂)₃, Q = NMe₂] as the acid oxalate, m. 146-7.degree.. The following I were similarly prepd. (A, Q, acid salt, and m.p. given): (CH₂)₃, 4-methyl-1-piperazinyl, dihydrochloride, 198-200.degree.; CH₂CHMe, NMe₂, fumarate, 176-8.degree.; CHMeCH₂, NMe₂, fumarate, 209-11.degree.; CH₂CHMeCH₂, NMe₂, hydrochloride (EtOH of crystn.), 204-7.degree.; (CH₂)₂, NEt₂, hydrochloride, 176-8.degree.;

(CH₂)₂, NMe₂, hydrochloride, 242-4.degree.; (CH₂)₂, 1-piperidinyl, hydrochloride, 208-12.degree.; CH₂CH(NMe₂)CH₂, NMe₂, dihydrochloride, 195-8.degree.; (CH₂)₂, 1-methyl-2-piperidinyl, dihydrochloride, 140-5.degree.. A soln. of 20.9 g. II in 60 cc. Et₂O was added during 15 min. below 10.degree. to an ethereal soln. of BuLi, prepd. from 2.2 g. Li, 17.2 g. BuBr, and 100 cc. Et₂O. The temp. was allowed to rise to 17.degree., a soln. of 26.3 g. p-MeC₆H₄SO₃CH₂CHMeCH₂Cl in 55 cc. Et₂O added during 15 min. <25.degree., and the mixt. stirred 3 hrs. at 25.degree. and kept 15 hrs. to give 30 g. 5-(3-chloro-2-methylpropyl)-5, 10, 11, 12-tetrahydrobenz[b,g]azocine (III) as an oily residue. Et₂NH (73 g.) was added to 30 g. crude III in 100 cc. anhyd. EtOH and heated at 100.degree. for 21 hrs. in a pressure vessel to give I [A = CH₂CHMeCH₂, Q = NEt₂] as the hydrochloride, m. 180-3.degree.. The following I were similarly prepd. (A, Q, acid salt, and m.p. given): CH₂CHMeCH₂, 4-hydroxy-1-piperidinyl, -, - (base m. 78-80.5.degree.); CH₂CHMeCH₂, 4-(2-hydroxyethyl)-1-piperazinyl, -, - (base m. 78.5-81.5.degree.); CH₂CHMeCH₂, 4-methyl-1-piperazinyl, dihydrochloride (2H₂O of crystn.), 198-201.degree.; CH₂CHMeCH₂, NHMe, hydrochloride, 210-13.degree.; (CH₂)₃, 4-(2-hydroxyethyl)-1-piperazinyl, dihydrochloride, 200-2.degree.; (CH₂)₃, 4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl, dihydrochloride, 164-6.degree.; CH₂CHMeCH₂, 4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl, -, - (base m. 78.5-80.5.degree.); CH₂CHMeCH₂, 1-morpholinyl, hydrochloride, 200-5.degree.; CH₂CHMeCH₂, 1-piperidinyl, fumarate, 147-51.degree.; CH₂CHMeCH₂, 1-pyrrolidinyl, hydrochloride (EtOH of crystn.), 140.degree. and 210.degree.; (CH₂)₃, 4-hydroxy-1-piperidinyl, oxalate, 115.degree.; (CH₂)₃, 1-morpholinyl, oxalate, 173-5.degree.; (CH₂)₃, 1-piperidinyl, dihydrochloride, 106-10.degree.; (CH₂)₃, 1-piperidinyl, tartrate, 140-2.degree.; (CH₂)₃, 1-pyrrolidinyl, neutral tartrate, 128-30.degree.; (CH₂)₃, 1-pyrrolidinyl, oxalate, 130-3.degree.. 5-(2-Dimethylaminoethoxycarbonyl) deriv. of II (3.6 g.) was decarboxylated by heating at 230-50.degree. for 45 min. under a current of N. The residue was distd. in vacuo to give 2.2 g. product, b_{0.4} 135-45.degree., which gave I [A = (CH₂)₂, Q = NMe₂] as the hydrochloride, m. 236-9.degree.. II (4.18 g.) in 15 cc. anhyd. Et₂O was added to 1.92 g. BuLi in 25 cc. anhyd. Et₂O at 8-10.degree.. After stirring for 30 min., the soln. was cooled to 0.degree. 7.5 cc. 4.1M anhyd. ethereal ethylene oxide added at below 10.degree., and the mixt. stirred at room temp. for 15 hrs. to give 5 g. 5-(2-hydroxyethyl) deriv. of II, which was treated in 40 cc. anhyd. pyridine at - 10.degree. with 4.53 g. MeSO₂Cl. The oil which sepd. on pouring into 250 cc. H₂O was extd. with C₆H₆. The C₆H₆ soln. was washed with cold N HCl soln. and H₂O, dried over Na₂SO₄, and concd. to 80 cc. before treating with 40 cc. 5.7M Me₂NH in C₆H₆ at 100.degree. for 17 hrs. to give 3.25 g. I [A = (CH₂)₂, Q = NMe₂] as the hydrochloride. I possess a very high antiemetic and intense antidepressant activity, making them useful for treating melancholia.

IT 1252-05-7, 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]-
(prepn. of)

RN 1252-05-7 CAPLUS

CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 67 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1965:74163 CAPLUS
 DOCUMENT NUMBER: 62:74163
 ORIGINAL REFERENCE NO.: 62:13131g-h,13132a-d
 TITLE: 5,10,11,12-Tetrahydrodibenz[b,g]azocine derivatives
 INVENTOR(S): Jacob, Robert M.; Fouche, Jean C. L.
 PATENT ASSIGNEE(S): Rhone-Poulenc S.A.
 SOURCE: 9 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1180751		19641105	DE	

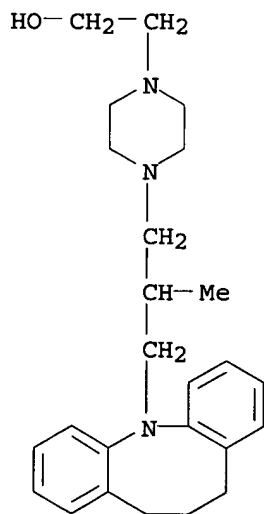
PRIORITY APPLN. INFO.: FR 19600705

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. 5,10,11,12-Tetrahydrodibenz[b,g]azocine (II) (6.0 g.), 50 ml. dry xylene, 1.03 g. NaNH₂, and 19.8 ml. xylene soln. contg. 176 g. 1-dimethylamino-3-chloropropane per 1. soln. was stirred and heated under N at reflux until NH₃ evolution had ceased (7 hrs.), cooled, 100 ml. distd. H₂O added, the xylene layer decanted, washed twice with 50 ml. distd. H₂O, and extd. 3 times with a total of 200 ml. 2N HCl, the acidic soln. made alk. with 100 ml. 10N NaOH, the oil formed extd. with 50 ml. then with 30 ml. Et₂O, the ext. dried (K₂CO₃) and evapd., and the residue in 35 ml. Me₂CO treated with a soln. of 1.75 g. dry oxalic acid in 35 ml. Me₂CO to ppt. 5.8 g. of acid oxalate of 5-(3-dimethylaminopropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine, m. 146-7.degree.. II, m. 55-7.degree., was prepd. by heating a salt of 1,3-bis(o-aminophenyl)propane at 220-300.degree.. The following I were similarly prepd. (R, salt, and m.p. salt given): 3-(4-methyl-piperazino)propyl, di-HCl, 198-200.degree.; 2-dimethylaminopropyl, fumarate, 176-8.degree.; 3-dimethylamino-2-methylpropyl, HCl (solvate with EtOH), 204-7.degree.; 2-diethylaminoethyl, HCl, 176-8.degree.; 2-piperidinoethyl, HCl, 208-12.degree.; 2',3'-bis(dimethylamino)-propyl, di-HCl, 195-8.degree.; 2-(1-methyl-2-piperidyl)ethyl, di-HCl, 140-5.degree.. Crude 5-(3-chloro-2-methylpropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine (V) (prepd. by reaction of 3-p-tolyl-sulfonyloxy-2-methyl-1-chloropropane with the Li deriv. of II) (30 g.) was dissolved in 100 ml. dry EtOH, 73 g. Et₂NH added, the mixt. heated 21 hrs. at 100.degree. in a high pressure

flask, and the solvent removed under a slight vacuum to yield an oily residue, which was worked up to give 10.5 g. 5-(3-diethylamino-2-methylpropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine-HCl, m. 180-3.degree.. The following I were similarly prepd. (R, salt, and m.p. salt given): 3-(4-hydroxypiperidino)-2-methylpropyl, --, 78-80.5.degree. (free base); 3-(4-hydroxyethylpiperazino)-2-methylpropyl, --, 78.5-81.5.degree. (free base); 3-(4-methylpiperazino)-2-methylpropyl, di-HCl dihydrate, 198-201.degree.; 3-methylamino-2-methylpropyl, HCl, 201-3.degree.; 3-(4-hydroxyethoxyethylpiperazino)-2-methylpropyl, --, 78.5-80.5.degree. (free base); 3-morpholino-2-methylpropyl, HCl, 200-5.degree.; 3-piperidino-2-methylpropyl, fumarate, 147-51.degree.; and 3-pyrrolidino-2'-methylpropyl, HCl (solvate with EtOH), 140.degree. and 210.degree.. The following I were prepd. by reaction of 5-(3-chloropropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine with various amines (R, salt, and m.p. salt given): 3-(4-hydroxyethylpiperazino)propyl, di-HCl, 200-2.degree.; 3-(4-hydroxyethoxyethylpiperazino)propyl, di-HCl, 164-6.degree.; 3-(4-hydroxypiperidino)propyl, oxalate, 115.degree.; 3-morpholinopropyl, oxalate, 173-5.degree.; 3-piperidinopropyl, di-HCl, 106-10.degree.; 3-pyrrolidinopropyl, --, 128-30.degree. (free base); and 3-diethylaminopropyl, oxalate, 130-3.degree.. Similarly prepd. from 5-methyl-sulfonyl-5,10,11,12-tetrahydrodibenz[b,g]azocine was I (R = Me2NCH2CH2) (III) HCl salt, m. 242-4.degree.. 5-(2-Dimethyl-aminoethoxycarbonyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine was decarboxylated at 230-50.degree. and the product treated with HCl to yield III. I were antidepressives.

IT 1252-05-7, 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]-
(prepn. of)
RN 1252-05-7 CAPLUS
CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)

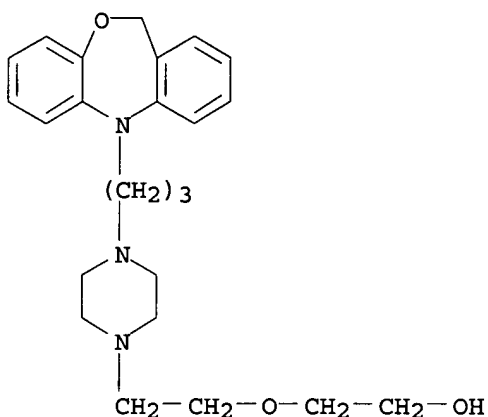


L8 ANSWER 68 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1963:66545 CAPLUS
DOCUMENT NUMBER: 58:66545
ORIGINAL REFERENCE NO.: 58:11386b-g
TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenzoxazepines
INVENTOR(S): Yale, Harry L.; Sowinski, Francis A.; Bernstein, Jack
PATENT ASSIGNEE(S): Olin Mathieson Chemical Corp.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent

LANGUAGE: Unavailable
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	US 3069432		19621218	US	19610220
	FR 1317469			FR	
	FR M1845			FR	
	GB 951840			GB	
GI	For diagram(s), see printed CA Issue.				
AB	<p>I, where A is a lower alkylene radical of at least 2 C atoms, B is a satd. N-contg. radical of less than 12 C atoms and R and R' are the same or different and are H, halogen, lower alkyl, lower alkoxy, trifluoromethyl, trifluoromethylthio, trifluoromethoxy, or N,N-dimethylsulfonamido, and their salts are useful as ataractic agents and as antihistamines. I are prepd. by a series of 6 reactions. Thus, a mixt. of 188 g. .omicron.-bromotoluene, 178 g. N-bromosuccinimide, 1.5 g. Bz2O2, and 350 ml. CCl4 is stirred and refluxed for 34 hrs. The mixt. is cooled, filtered, concd., and cooled again, and the residue washed with 15% aq. NaHSO3, H2O, 15% aq. FeSO4, and H2O, and dried (anhyd. MgSO4) to yield 161.3 g. .omicron.-bromobenzyl bromide (II), b10 122-6.degree.. To a stirred soln. of 119.5 g. II, and 83.6 g. .omicron.-nitrophenol in 400 ml. 95% EtOH, a soln. of 39.6 g. 85% KOH in 200 ml. H2O is added dropwise and the mixt. refluxed for 2 hrs. Cooling, filtering, washing (H2O), and drying yields 149.6 g. .omicron.-bromobenzyl .omicron.-nitrophenyl ether (III), m. 82.5-3.0.degree. (95% EtOH). To a stirred mixt. of 149.0 g. III, 270 g. Fe powder, and 3.5 l. 95% EtOH is added 25 ml. concd. HCl. After refluxing 1 hr., the mixt. is filtered hot, concd. until 2 phases appear, cooled, and extd. with Et2O. Conc. of the dried Et2O ext. yields 101.1 g. 2-(.omicron.-bromobenzoyloxy)aniline (IV), m. 48-9.degree.. To a mixt. of 169.0 g. 98-100% HCO2H and 73.5 g. HOAc is added in small portions with cooling and stirring 101.1 g. IV. The mixt. is refluxed for 1/2 hr. and concd. in vacuo to yield about 104 g. 2-(.omicron.-bromobenzoyloxy)formanilide (V), m. 113.5-14.degree. [Skellysolve V (VI.)]. A stirred mixt. of 5.0 g. V, 2.8 g. anhyd. K2CO3, 0.5 g. Cu powder, and 50 ml. HCONMe2 is heated under N at 155-60.degree. for 2 hrs. The mixt. is filtered hot, concd. to dryness, washed (H2O), and extd. with VI to yield, on cooling, 2.6 g. I (R = R' = H, AB = CHO) (VII). Addnl. recrystn. (hexane and VI resp.) yields 0.9 g. pure VII, m. 111.5-12.5. VII (100 mg.) is dissolved in a mixt. of 10 ml. EtOH and 2 ml. 10% aq. NaOH. The soln. is refluxed for 1 hr., cooled, neutralized, and concd. to dryness to yield I (R = R' = AB = H), m. 118-18.5 (hexane). Similarly, using 2-bromo-4-chlorobenzyl bromide instead of II gave I (R = AB = H, R'=3-Cl). Also prepd. were I (R,R', and AB given): H, 3-F3C, H; 7-Me, H, H; 7-Cl, 3-Cl, H; H, 3-SO2NH2, H; H, 3-CF3, H; H, 3-F3CS, H; H, H, (CH2)3NMe2 (VIII) (b0.15 138-43.degree.); H, 3-Cl, (CH2)3NMe2; H, 3-CF3, (CH2)3NMe2; 7-Me, H, (CH2)3NMe2; 7-Cl, 3-Cl, (CH2)3NMe2; H, H; CH2-CH2NMe2; H, H, 3-(N4-methylpiperazino)propyl; H, H, 3-[N4-(2-hydroxyethyl)piperazino]propyl; H, H, 3-[N4-(2-hydroxyethoxyethyl)piperazino]propyl; H, H, 3-[N4-(2-acetoxyethyl)piperazino] propyl.</p>				
IT	105476-69-5, Ethanol, 2-[2-[4-(3-dibenz[b,e][1,4]oxazepin-5-(11H)-ylpropyl)-1-piperazinyl]ethoxy]-(prepn. of)				
RN	105476-69-5 CAPLUS				
CN	Ethanol, 2-[2-[4-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-1-piperazinyl]ethoxy]-(7CI) (CA INDEX NAME)				

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(FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003)

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003

L1	STRUCTURE UPLOADED
L2	STRUCTURE UPLOADED
L3	0 S L1 FUL
L4	0 S L2 FUL
L5	45 S 'DIBENZ [B,G] AZOCIN'
L6	203 S 'DIBENZ [B,E] [1,4] OXAZEPIN'
L7	0 S 'DIBENZ [D,G] DIOXAZOCIN'

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003

L8 68 S L5 OR L6

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

311.37

653.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-44.27

-44.27

STN INTERNATIONAL LOGOFF AT 14:44:59 ON 03 SEP 2003